

chain nodes :

17 18

ring nodes :

1 2 3 4 5 6 7 8 9 11 12 13 14 15 16 19 20 21 22 23 24

chain bonds :

7-11 14-17 17-18 18-19

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-16 12-13

13-14 14-15 15-16 19-20 19-24 20-21 21-22 22-23 23-24

exact/norm bonds :

5-7 6-9 7-8 7-11 8-9 11-12 11-16 12-13 13-14 14-15 14-17 15-16

17-18 18-19

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24

G1:0,S,N

G2:N,CH

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom

11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS

19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom

## => d his

L14

L15

L16

(FILE 'HOME' ENTERED AT 14:51:00 ON 05 DEC 2001)

```
FILE 'REGISTRY' ENTERED AT 14:51:06 ON 05 DEC 2001
L1
               STRUCTURE UPLOADED
L2
               QUE L1
L3
            13 S L2
L4
           269 S L2 SSS FUL
     FILE 'CAPLUS' ENTERED AT 14:53:17 ON 05 DEC 2001
L5
            43 S L4
L6
             20 S L5 AND JOURNAL/DT
L7
             0 S L6 AND 2001/SO
L8
             4 S L6 AND 2000/SO
L9
             3 S L6 AND 1999/SO
             2 S L6 AND 1998/SO
L10
             2 S L6 AND 1997/SO
L11
             2 S L6 AND 1996/SO
L12
             5 S L6 AND 1995/SO
L13
             0 S L6 AND 1994/SO
```

19 S L7 OR L8 OR L9 OR L10 OR L11 OR L12 OR L13 OR L14 OR L15

FILE 'STNGUIDE' ENTERED AT 14:57:19 ON 05 DEC 2001

FILE 'CAPLUS' ENTERED AT 15:04:09 ON 05 DEC 2001 L17 24 S L5 NOT L16

1 S L6 AND 1993/SO

=> d bib abs hitstr 1-24

ANSWER 1 OF 24 CAPLUS COPYRIGHT 2001 ACS

2001:617978 CAPLUS

DN 135:195564

TI Preparation of phenoxyalkylamine derivatives useful as opioid .delta. receptor agonists

IN Tsushima, Masaki; Tadauchi, Kaori; Asai, Kenji; Miike, Naoko; Imai, Masako; Kudo, Toshiaki

PA Meiji Seika Kaisha, Ltd., Japan

SO PCT Int. Appl., 152 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2001060796 20010823 WO 2001-JP1116 20010216 PΙ Α1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 20000218 PRAI JP 2000-40791 Α MARPAT 135:195564 OS : GΪ

AB The title compds. I [X is a group represented by the general formula R7R8NCO, etc.; A is a satd. or unsatd. 3- to 6-membered carbocyclic group or the like; B is CH2 or the like; n is 0 to 2; R1 is hydrogen, halogeno, or the like; R2, R3, and R7, R8 are each hydrogen, optionally substituted lower alkyl, or the like; R4 is hydrogen, optionally substituted lower alkyl, or the like; R5 is hydrogen, halogeno, or the like; and R6 is a satd. or unsatd. mono- or bicyclic carbocyclic group or the like, or alternatively, R5 and R6, R7 and R8 may be united to form a cyclic structure] are prepd. In an in vitro test for affinity for the .delta. opioid receptors, 1-[2-[2-(4-isobutyloxycarbonylbenzyl)phenoxy]ethyl]-4-(2-hydroxymethyl-1H-benzimidazol-1-yl)piperidine showed the Ki value of 73 nM.

## IT 356071-63-1P 356071-64-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenoxyalkylamine derivs. useful as opioid .delta. receptor agonists)

RN 356071-63-1 CAPLUS

CN Benzamide, N, N-diethyl-4-[[2-[2-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 356071-64-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RE.CNT 20

RE

- (1) Eli Lilly And Company; JP 06-56892 A CAPLUS
- (2) Eli Lilly And Company; CN 1080926 A CAPLUS
- (3) Eli Lilly And Company; CA 2091663 A CAPLUS
- (4) Eli Lilly And Company; RU 2129562 C1 CAPLUS
- (5) Eli Lilly And Company; US 5932543 A CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
09/712,129
      ANSWER 2 OF 24 CAPLUS COPYRIGHT 2001 ACS
      2001:208282 CAPLUS
      134:237472
DN
      Preparation of 1-amino-3-thienoisoxazolylphenoxy-2-propanols as dopamine
TI
      D4 antagonists
      Fink, David M.; Freed, Brian S.; Hrib, Nicholas J.; Kosley, Raymond W.,
IN
      Jr.; Lee, George E.; Merriman, Gregory H.; Rauckman, Barbara S.
      Aventis Pharmaceuticals, Inc., USA
PA
      PCT Int. Appl., 157 pp.
SO
      CODEN: PIXXD2
DT
      Patent
      English
LΑ
FAN.CNT 1
                                                        APPLICATION NO.
      PATENT NO.
                            KIND
                                    DATE
                            ____
                                    _____
                                                       WO 2000-US24962 20000913
                             Α1
                                    20010322
ΡI
      WO 2001019833
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BI, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CL, CM, CA, CN, CW, ML, MP, NE, SN, TD, TG
                CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                             A1
                                    19990914
PRAI US 1999-396081
OS
      MARPAT 134:237472
      RZOCH2CR1R2CH2NR3R4 [I; R = e.g., thieno[2,3-d]isoxazol-3-yl; R1 = OH or
AΒ
      alkoxy; R2,R4 = H or alkyl; R3 = CH2R5, CH2CH(OH)R5, indanyl, etc.; R5 =
      cyclohex(en)yl, (hetero)aryl, etc.; Z = phenylene] were prepd. Thus,
      3-bromothiophene was acylated by 3-(MeO)C6H4COCl and the oximated product
      cyclized to give, after O-demethylation, 3-RC6H4OH [R =
      thieno[2,3-d]isoxazol-3-yl] which was etherified by (R)-glycidyl tosylate
      and the product aminated by PhCHMeNH2 to give (R)-3-
      RC6H4OCH2CH(OH)CH2NMeCH2Ph (R as above). Data for biol. activity of I
      were given.
      330650-07-2P 330650-08-3P 330650-09-4P
ТТ
      330650-10-7P 330650-11-8P 330650-12-9P
      330650-66-3P 330650-95-8P 330650-97-0P
      330672-15-6P
      RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
      preparation); THU (Therapeutic use); BIOL (Biological study); PREP
      (Preparation); USES (Uses)
          (prepn. of 1-amino-3-thienoisoxazolylphenoxy-2-propanols as dopamine D4
          antagonists)
RN
      330650-07-2 CAPLUS
```

1-Piperazineethanol, 4-(6-fluoro-1H-indazol-3-yl)-.alpha.-[(3-thieno[2,3-

d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN

RN 330650-08-3 CAPLUS

CN 1-Piperazineethanol, 4-(5-methoxy-1H-indazol-3-yl)-.alpha.-[(3-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330650-09-4 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(3-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)-- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330650-10-7 CAPLUS

CN 1-Piperidineethanol, 4-(6-chloro-1,2-benzisoxazol-3-yl)-.alpha.-[(3-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 330650-11-8 CAPLUS

CN 1-Piperazineethanol, 4-(1,2-benzisothiazol-3-yl)-.alpha.-[(3-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330650-12-9 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisothiazol-3-yl)-.alpha.-[(3-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330650-66-3 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(4-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RN 330650-95-8 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-methyl-.alpha.-[(3-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330650-97-0 CAPLUS

CN 1,2-Benzisoxazol-6-ol, 3-[4-[(2R)-2-hydroxy-3-(3-thieno[2,3-d]isoxazol-3-ylphenoxy)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330672-15-6 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-ethanol, 3-(1,2-benzisoxazol-3-yl)-.alpha.-[(3-thieno[2,3-d]isoxazol-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

# 09/712,129

Absolute stereochemistry.

RE.CNT 2 RE

- (1) Duphar International Research B V; WO 9940067 A 1999 CAPLUS(2) Hoechst-Roussel Pharmaceuticals Inc; EP 0221414 A 1987 CAPLUS

09/712,129 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2001 ACS 2001:208270 CAPLUS ĎΝ 134:237471 Preparation of 3-[(aminoalkoxy)phenyl]benzo[d]isoxazoles and analogs as TI dopamine D4 antagonists Shutske, Gregory M.; Hendrix, James A.; Jurcak, John G.; Freed, Brian S.; IN Hrib, Nicholas J.; Tomer, John D., IV; Hanna, Reda G. Aventis Pharmaceuticals Inc., USA PΑ PCT Int. Appl., 206 pp. SO CODEN: PIXXD2

DT Patent LΑ English

FAN.CNT 1

DATE APPLICATION NO. PATENT NO. KIND WO 2001019821 **A**1 20010322 WO 2000-US24961 20000913 PΙ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-395937 A1 19990914

MARPAT 134:237471 OS

GΙ

RZOZ1NR3R4 [I; R = e.g., (halo)benzo[d]isoxazol-3-yl; R3 = AΒ (hetero)aryl(alkyl), etc.; R4 = H or alkyl; NR3R4 = heterocyclyl; Z = phenylene; Z1 = (CH2)2-3, CH2CH(OR2)CH2, etc.; R2 = H or alkyl] were prepd. Thus, Ph(CH2)3Br was aminated by piperidine and the product acylated by 2,4-F2C6H3COCl to give, after oximation, 2,4-F2C6H3C(:NOH)C6H4[O(CH2)3NR3R4]-4 [R3R4 = (CH2)5] which was cyclized to give title compd. II. Data for biol. activity of I were given.

TT 330669-13-1P 330669-14-2P 330669-19-7P 330669-29-9P 330669-34-6P 330669-90-4P 330669-91-5P

> RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 3-[(aminoalkoxy)phenyl]benzo[d]isoxazoles and analogs as dopamine D4 antagonists)

RN 330669-13-1 CAPLUS

1-Piperazineethanol, .alpha.-[[4-(6-fluoro-1,2-benzisoxazol-3-CN yl)phenoxy]methyl]-4-(1H-indazol-3-yl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330669-14-2 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)phenoxy]methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

\_\_ F

RN 330669-19-7 CAPLUS

CN 1-Piperazineethanol, .alpha.-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)phenoxy]methyl]-4-(6-fluoro-1H-indazol-3-yl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

\_ F

RN 330669-29-9 CAPLUS

CN 1-Piperazineethanol, .alpha.-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)phenoxy]methyl]-4-(1H-indazol-3-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330669-34-6 CAPLUS

CN 1-Piperazineethanol, .alpha.-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)phenoxy]methyl]-4-(6-fluoro-1H-indazol-3-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

PAGE 1-B

\_\_ F

RN 330669-90-4 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(3-isoxazolo[5,4-b]pyridin-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 330669-91-5 CAPLUS

CN 1-Piperazineethanol, 4-(6-fluoro-1H-indazol-3-yl)-.alpha.-[(3-isoxazolo[5,4-b]pyridin-3-ylphenoxy)methyl]-, (.alpha.R)- (9CI) (CA INDEX NAME)

RE.CNT 11

RE

- (1) Adir; EP 0811622 A 1997 CAPLUS
- (2) Baker, R; US 5576319 A 1996 CAPLUS
- (3) Hoechst Roussel Pharma; EP 0474012 A 1992 CAPLUS
- (4) Hoechst Roussel Pharma; EP 0509402 A 1992 CAPLUS
- (5) Hrib, N; US 5225412 A 1993 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

PRAI HU 1999-3042

OS

GΙ

HU 1999-3043

MARPAT 134:237469

ANSWER 4 OF 24 CAPLUS COPYRIGHT 2001 ACS 2001:185749 CAPLUS ЬN 134:237469 TI Preparation and use of alkylpiperidinylbenzo[d]isoxazole derivatives having psychotropic activity Barkoczy, Jozsef; Gacsalyi, Istvan; Balazs, Laszlo; Levay, Gyoergy; Simig, IN Gyula; Schmidt, Eva; Pallagi, Katalin; Szenasi, Gabor; Doman, Imre; Greff, Zoltan; Kotay-Nagy, Peter; Ratkai, Zoltan; Seres, Peter Egis Gyogyszergyar Rt., Hung. PA PCT Int. Appl., 73 pp. SO CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. WO 2001017993 Α1 20010315 WO 2000-HU96 20000907 PΙ AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

Α

Α

19990909

19990909

$$rac{1}{\sqrt{N}}$$
  $rac{1}{\sqrt{N}}$   $rac{1}{\sqrt{N}}$ 

Compds. of formula I and their prepn. are claimed [wherein; Z is H or OH; AΒ n is 0 or 1; X, Y are H; R is H, halo, C1-C6 alk(ox)yl; X', Y' are H, halo, C1-C6 alk(ox)yl or form together to make a 5-7 membered (arom.) or (un)satd. (hetero)cyclic ring that can be fused with one or more 5-7 membered arom. or (un)satd. (hetero)cyclic ring. Alternatively; R, X', Y' are H and X, Y together form a ring system as described above]. The general process claimed is illustrated by the synthesis of compd. II. 6-Fluoro-3-(piperidin-4-yl)benzo[d]isoxazole was alkylated with 1-bromo-3-chloropropane to yield 3-(1-(3-chloropropyl)piperidin-4-yl)-6fluorobenzo[d]isoxazole. The isoxazole intermediate was treated with 5-hydroxybenzo[c][1,2,5]thiadiazole and base to yield II (43 examples of I were provided). Compds. I showed 5-HT2a antagonist activity by inhibiting DOI-induced hyperthermia; MED of II was 3 mg/kg p.o. (male Wistar rat). Compds. I exhibited antipsychotic effects in their ability to inhibit apomorphine-induced climbing (male MRI mice) at a dose significantly lower than chlorpromazine or clozapine. I also inhibited apomorphine-induced stereotypes at a higher dose than climbing; ratios of 2-23 vs. 3.0 for clozapine and 1.1 for chlorpromazine were obsd. Compds. of the invention displayed anxiolytic activity comparable to buspirone. ΙT

329977-28-8P 329977-29-9P 329977-33-5P 329977-34-6P 329977-35-7P, 6-Fluoro-3-[1-{3-(7methoxynaphthalen-2-yloxy)propyl)piperidin-4-yl]benzo[d]isoxazole 329977-36-8p, 1-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-3-(6-methoxynaphthalen-2-yloxy)propan-2-ol 329977-38-0P, 1-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-3-(2-methylbenzoxazol-6-yloxy)propan-2-ol **329977-39-1P**, 6-Fluoro-3-[1-(3-(2methylbenzoxazol-6-yloxy)propyl)piperidin-4-yl]benzo[d]isoxazole **329977-40-4P**, 1-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-3-(2-methylbenzoxazol-5-yloxy)propan-2-ol 329977-44-8P, 6-Fluoro-3-[1-(2-(2-methylbenzoxazol-6-yloxy)ethyl)piperidin-4yl]benzo[d]isoxazole 329977-50-6P, 6-Fluoro-3-(1-(2-naphthalene-1-yloxyethyl)piperidin-4-yl)benzo[d]isoxazole 329977-52-8P **329977-55-1P**, 1-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-3-(2-methoxyphenoxy)propan-2-ol RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and use of alkylpiperidinylbenzo[d]isoxazole derivs. having psychotropic activity)

329977-28-8 CAPLUS
1-Piperidineethanol, .alpha.-[(1,3-benzodioxol-5-yloxy)methyl]-4-(6-fluoro-1,2-benzisoxazol-3-yl)- (9CI) (CA INDEX NAME)

RN 329977-29-9 CAPLUS CN 1,2-Benzisoxazole, 3-[1-[3-(1,3-benzodioxol-5-yloxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN

CN

RN 329977-33-5 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(2-naphthalenyloxy)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & OH \\ N & N - CH_2 - CH - CH_2 - O \end{array}$$

RN 329977-34-6 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[(7-methoxy-2-naphthalenyl)oxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OH} & \text{OH} \\ & \text{N} & \text{CH}_2\text{--}\text{CH}\text{--}\text{CH}_2\text{--}\text{O} \\ & & \text{OMe} \end{array}$$

RN 329977-35-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(7-methoxy-2-naphthalenyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 329977-36-8 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[(6-methoxy-2-naphthalenyl)oxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & OH \\ N & N - CH_2 - CH - CH_2 - O \\ \end{array}$$

RN 329977-38-0 CAPLUS

## 09/712,129

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[(2-methyl-6-benzoxazolyl)oxy]methyl]- (9CI) (CA INDEX NAME)

RN 329977-39-1 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(2-methyl-6-benzoxazolyl)oxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 329977-40-4 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[(2-methyl-5-benzoxazolyl)oxy]methyl]- (9CI) (CA INDEX NAME)

RN 329977-44-8 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-[(2-methyl-6-benzoxazolyl)oxy]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 329977-50-6 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-(1-naphthalenyloxy)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

# 09/712,129

RN 329977-52-8 CAPLUS

CN 1-Piperidineethanol, .alpha.-[(9H-carbazol-4-yloxy)methyl]-4-(6-fluoro-1,2-benzisoxazol-3-yl)-, (2E)-2-butehedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 329977-51-7 CMF C27 H26 F N3 O3

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 329977-55-1 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(2-methoxyphenoxy)methyl]- (9CI) (CA INDEX NAME)

329977-30-2P 329977-37-9P, 6-Fluoro-3-[1-(3-(6-ΤТ methoxynaphthalen-2-yloxy)propyl)piperidin-4-yl]benzo[d]isoxazole 329977-41-5P 329977-42-6P 329977-43-7P, 6-Fluoro-3-[1-(2-(7-methoxynaphthalen-2-yloxy)ethyl)piperidin-4yl]benzo[d]isoxazole 329977-45-9P 329977-46-0P 329977-47-1P 329977-48-2P 329977-49-3P, 6-Fluoro-3-(1-(3-naphthalene-1-yloxypropyl)piperidin-4yl)benzo[d]isoxazole hydrochloride 329977-53-9P, 4-[3-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)propoxy]-9Hcarbazole 329977-54-0P, 3-[2-(4-(6-Fluorobenzo[d]isoxazol-3yl)piperidin-1-yl)ethoxy]-9H-carbazole 329977-56-2P, 6-Fluoro-3-[1-(2-(2-methoxyphenoxy)ethyl)piperidin-4-yl]benzo[d]isoxazole hydrochloride 329977-57-3P, 1-(4-(6-Fluorobenzo[d]isoxazol-3yl)piperidin-1-yl)-3-(2-isopropoxyphenoxy)propan-2-ol 329977-58-4P , 6-Fluoro-3-[1-(3-(2-isopropoxyphenoxy)propyl)piperidin-4yl]benzo[d]isoxazole hydrochloride 329977-59-5P, 6-Fluoro-3-[1-(2-(2-isopropoxyphenoxy)ethyl)piperidin-4yl]benzo[d]isoxazole hydrochloride 329977-60-8P, 1-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-3-(4-chloronaphthalen-1-yloxy)propan-2-ol hydrochloride 329977-61-9P, 3-[1-(3-(4-Chloronaphthalen-1-yloxy)propyl)piperidin-4-yl]-6fluorobenzo[d]isoxazole hydrochloride 329977-62-0P,

3-[1-(2-(4-Chloronaphthalen-1-yloxy)ethyl)piperidin-4-yl]-6fluorobenzo[d]isoxazole hydrochloride 329977-63-1P 329977-64-2P, 6-Fluoro-3-[1-(3-(4-methoxynaphthalen-1yloxy)propyl)piperidin-4-yl]benzo[d]isoxazole hydrochloride **329977-65-3P**, 6-Fluoro-3-[1-(2-(4-methoxynaphthalen-1yloxy)ethyl)piperidin-4-yl]benzo[d]isoxazole 329977-66-4P, 1-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-3-(7-fluoronaphthalen-1-yloxy)propan-2-ol hydrochloride 329977-67-5P, 6-Fluoro-3-[1-(3-(7-fluoronaphthalen-1-yloxy)propyl)piperidin-4yl]benzo[d]isoxazole hydrochloride 329977-68-6P, 6-Fluoro-3-[1-(2-(7-fluoronaphthalen-1-yloxy)ethyl)piperidin-4yl]benzo[d]isoxazole **329977-69-7P**, 1-(4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl)-3-(7-methoxynaphthalen-1yloxy) propan-2-ol hydrochloride 329977-70-0P, 6-Fluoro-3-[1-(3-(7-methoxynaphthalen-1-yloxy)propyl)piperidin-4yl]benzo[d]isoxazole 329977-71-1P, 6-Fluoro-3-[1-(2-(7methoxynaphthalen-1-yloxy)ethyl)piperidin-4-yl]benzo[d]isoxazole 329977-72-2P, 6-Fluoro-3-(1-(3-naphthalene-1-yloxypropyl)piperidin-4-yl)benzo[d]isoxazole RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and use of alkylpiperidinylbenzo[d]isoxazole derivs. having psychotropic activity) RN 329977-30-2 CAPLUS 1,2-Benzisoxazole, 3-[1-[2-(1,3-benzodioxol-5-yloxy)ethyl]-4-piperidinyl]-CN 6-fluoro- (9CI) (CA INDEX NAME)

RN 329977-37-9 CAPLUS
CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-2-naphthalenyl)oxy]propyl]4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 329977-41-5 CAPLUS
CN 1-Piperidineethanol, .alpha.-[(

CN 1-Piperidineethanol, .alpha.-[(1,3-benzodioxol-5-yloxy)methyl]-4-(6-fluoro-1,2-benzisoxazol-3-yl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 329977-42-6 CAPLUS

CN 1-Piperidineethanol, .alpha.-[(1,3-benzodioxol-5-yloxy)methyl]-4-(6-fluoro-1,2-benzisoxazol-3-yl)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 329977-43-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-[(7-methoxy-2-naphthalenyl)oxy]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

F O N N 
$$\sim$$
 CH<sub>2</sub>  $\sim$  CH<sub>2</sub>  $\sim$  OMe

RN 329977-45-9 CAPLUS

CN 1-Piperidineethanol, .alpha.-[[(2,3-dihydro-1,4-benzodioxin-5-yl)oxy]methyl]-4-(6-fluoro-1,2-benzisoxazol-3-yl)- (9CI) (CA INDEX NAME)

RN 329977-46-0 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[(2,3-dihydro-1,4-benzodioxin-5-yl)oxy]propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 329977-47-1 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[2-[(2,3-dihydro-1,4-benzodioxin-5-yl)oxy]ethyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

RN 329977-48-2 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(1-naphthalenyloxy)methyl]- (9CI) (CA INDEX NAME)

RN 329977-49-3 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1-naphthalenyloxy)propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 329977-53-9 CAPLUS
CN 9H-Carbazole, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 329977-54-0 CAPLUS
CN 9H-Carbazole, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]- (9CI) (CA INDEX NAME)

RN 329977-56-2 CAPLUS

## 09/712,129

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-(2-methoxyphenoxy)ethyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F & O & N & CH_2-CH_2-O \\ \hline & MeO & \\ \end{array}$$

#### ● HCl

RN 329977-57-3 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[2-(1-methylethoxy)phenoxy]methyl]- (9CI) (CA INDEX NAME)

RN 329977-58-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[2-(1-methylethoxy)phenoxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

#### HCl

RN 329977-59-5 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-[2-(1-methylethoxy)phenoxy]ethyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 329977-60-8 CAPLUS

CN 1-Piperidineethanol, .alpha.-[[(4-chloro-1-naphthalenyl)oxy]methyl]-4-(6-fluoro-1,2-benzisoxazol-3-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 329977-61-9 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[(4-chloro-1-naphthalenyl)oxy]propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

٠.

⊕ HCl

RN 329977-62-0 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[2-[(4-chloro-1-naphthalenyl)oxy]ethyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 329977-63-1 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[(4-methoxy-1-naphthalenyl)oxy]methyl]- (9CI) (CA INDEX NAME)

RN 329977-64-2 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(4-methoxy-1-naphthalenyl)oxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 329977-65-3 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-[(4-methoxy-1-naphthalenyl)oxy]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 329977-66-4 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[(7-fluoro-1-naphthalenyl)oxy]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 329977-67-5 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(7-fluoro-1-naphthalenyl)oxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 329977-68-6 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-[(7-fluoro-1-naphthalenyl)oxy]ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 329977-69-7 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[[(7-methoxy-1-naphthalenyl)oxy]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 329977-70-0 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(7-methoxy-1-naphthalenyl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 329977-71-1 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[2-[(7-methoxy-1-naphthalenyl)oxy]ethyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 329977-72-2 CAPLÚS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1-naphthalenyloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RE.CNT 5

RE

- (1) Hoechst Roussel Pharma; EP 0402644 A 1990 CAPLUS
- (2) Hoechst Roussel Pharma; WO 9511680 A 1995 CAPLUS
- (3) Novonordisk As; WO 9427998 A 1994 CAPLUS
- (4) Sacristan, A; WO 9632389 A 1996 CAPLUS
- (5) Strupczewski, J; JOURNAL OF MEDICINAL CHEMISTRY 1995, V38(7), P1119 CAPLUS

```
ANSWER 5 OF 24 CAPLUS COPYRIGHT 2001 ACS
      2000:725447 CAPLUS
      133:301178
TI
      Use of CYP2D6 inhibitors in combination therapies
      Obach, Ronald Scott
IN
      Pfizer Products Inc., USA
PA
SO
      PCT Int. Appl., 18 pp.
      CODEN: PIXXD2
      Patent
DT
LΑ
      English
FAN.CNT 1
      PATENT NO.
                            KIND
                                    DATE
                                                        APPLICATION NO.
                                                                              DATE
      WO 2000059486
                             A2
                                    20001012
                                                        WO 2000-IB304
                                                                              20000320
PΙ
                AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
                 CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
           IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CL, CM, GA, GN, GW, ML, MP, NE, SN, TD, TG
                 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1999-128136
                              P
                                    19990407
      This invention relates to the use of a CYP2D6 inhibitor in combination
      with a drug having CYP2D6-catalyzed metab., wherein the drug and the
      CYP2D6 inhibitor are not the same compd.; and pharmaceutical compns. for
      said use.
      133454-47-4, Iloperidone
IT
      RL: BAC (Biological activity or effector, except adverse); PEP (Physical,
      engineering or chemical process); THU (Therapeutic use); BIOL (Biological
      study); PROC (Process); USES (Uses)
          (use of CYP2D6 inhibitors in combination therapies)
RN
      133454-47-4 CAPLUS
      Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-
CN
```

piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>) 3-O  $\sim$  OMe

```
09/712,129
     ANSWER 6 OF 24 CAPLUS COPYRIGHT 2001 ACS
    2000:277835 CAPLUS
     132:298845
DN
     Therapy for improving cognition
TI
     De Nijs, Paul Leonce Irma; Parys, Wim Louis Julien
IN
PA
     Janssen Pharmaceutica N.V., Belg.
     PCT Int. Appl., 7 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                     KIND DATE
                                           APPLICATION NO. DATE
     PATENT NO.
     _-----
                      _-_-
                           -----
                                           _____
                                                            _____
                            20000427
     WO 2000023057
                      A2
                                           WO 1999-EP7804
                                                            19991012
PΙ
     WO 2000023057
                      АЗ
                            20000727
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
             MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
             SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
       RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9964727
                            20000508
                                          AU 1999-64727
                                                            19991012
                      Α1
     BR 9914419
                                           BR 1999-14419
                      Α
                            20010626
                                                            19991012
                                           EP 1999-952580
     EP 1121131
                            20010808
                                                            19991012
                      Α2
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     NO 2001001403
                            20010320
                                          NO 2001-1403
                                                            20010320
                      Α
PRAI EP 1998-203454
                            19981016
                      Α
     WO 1999-EP7804
                      W
                            19991012
     The present invention is concerned with pharmaceutical compns. comprising
AΒ
     a carrier and as first active ingredient an atypical antipsychotic agent
     (I) and as second active ingredient an acetylcholinesterase inhibitor
     (II), each in an amt. producing a therapeutically beneficial effect in
     patients suffering from psychosis, or Alzheimer's disease or related
     dementias. The therapeutically beneficial effect can be a synergistic
     effect on the cognitive functioning of patients suffering from Alzheimer's
     disease or related dementias or the prevention of the further
     deterioration of cognition in the patients, or the redn. of adverse
     effects assocd. with one of the active ingredients by the other of the
     active ingredients. Preferred compns. comprise risperidone as the
     atypical antipsychotic and galantamine as the acetylcholinesterase
     inhibitor.
ΙT
     133454-47-4, Iloperidone
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (therapeutics for improving cognition contg. antipsychotic agent and
        acetylcholinesterase inhibitor)
     133454-47-4 CAPLUS
RN
     Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-
```

piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

CN

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>) 3-O  $\sim$  OMe

ANSWER 7 OF 24 CAPLUS COPYRIGHT 2001 ACS

AM 2000:199317 CAPLUS

132:236993

TI Preparation of cinnamamides and 3-phenylpropionamides as 5-HT1A receptor antagonists and their use as quick-acting antidepressants

IN Kuroita, Takanobu; Bogauchi, Masahiro; Nishiyama, Akira; Morio, Yasunori

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

Ι

SO Jpn. Kokai Tokkyo Koho, 79 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

GT

PATENT NO. KIND DATE APPLICATION NO. DATE

----PI JP 2000086603 A2 20000328 JP 1999-199662 19990713
PRAI JP 1998-199934 19980715
OS MARPAT 132:236993

The amides I [X = H, OH, C1-8 alkoxy, halo; R1 = substituted cycloalkylamino, alkylamino, piperidino, piperazino, etc.; R3 = H, C1-18 alkyl, halo; R4 = (alkyl)amino, pyrrolidino, morpholino, etc.; Ra, Rb, Rc = H, alkyl(oxy), OH, halo, acyl, etc.; RaRb, RbRc may form (CH2)4, CH:CHCH:CH] are prepd. 3-[2-(2,3-Epoxypropan-1-yloxy)phenyl]propionamide was refluxed with 4-benzylpiperidine in EtOH to give an oily product, which was treated with p-toluenesulfonic acid to afford 2-H2NCO(CH2)2C6H4OCH2CH(OH)CH2Z tosylate (Z = 4-benzylpiperidino).

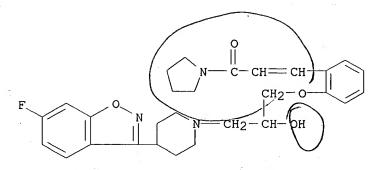
IT 262271-61-4P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5-HT1A receptor antagonists as quick-acting antidepressants)

RN 262271-61-4 CAPLUS

CN Pyrrolidine, 1-[3-[2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-hydroxypropoxy]phenyl]-1-oxo-2-propenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



• HCl

147 AN

DN

ANSWER 8 OF 24 CAPLUS COPYRIGHT 2001 ACS

1999:451295 CAPLUS

131:73642

TI Preparation of 7-[(piperidin-1-yl)propoxy]chromen-4-one derivatives useful in the treatment of psychosis, schizophrenia and allergy

IN Foguet, Rafael; Bolos, Jordi; Sacristan, Aurelio; Castello, Josep M.; Ortiz, Jose A.

PA Ferrer Internacional, S.A., Spain

SO PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

GΙ

FAN.	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	WO 9935144	A1 19990715	WO 1998-EP8497	19981229
	W: AU, BR,	CA, CZ, IL, JP,	KR, MX, NO, NZ, PL, RO,	RU, US
	RW: AT, BE,	CH, CY, DE, DK,	ES, FI, FR, GB, GR, IE,	IT, LU, MC, NL,
	PT, SE			
	ES 2144355	A1 20000601	ES 1997-2718	19971230
	ES 2144355	B1 20010101		;
	AU 9925143	A1 19990726	AU 1999-25143	19981229
	EP 970076	A1 20000112	EP 1998-966856	19981229
	R: AT, BE,	CH, DE, DK, ES,	FR, GB, GR, IT, LI, LU,	NL, SE, MC, PT,
	IE, FI,	RO		
	BR 9807276	A 20000502	BR 1998-7276	19981229
	JP 2001515517		JP 1999-535630	19981229
	NO 9904161	A 19991025	NO 1999-4161	19990827
	US 6187793	B1 20010213	US 1999-380092	19991221
PRAI	ES 1997-2718	A 19971230		
	WO 1998-EP8497	W 19981229		
os	MARPAT 131:73642	2		

AB 7-[3-[4-(6-Fluorobenzo[d]isoxazol-3-yl)piperidin-1-yl]propoxy]chromen-4-one derivs. I (R = H, CHO, CH2OR2, COOH; R1 = H, CH2OH; R2 = alkyl and one of the substituents R and R1 should be H) were prepd. The compds. are new and are useful in the treatment of psychosis, schizophrenia and allergy. The affinity of I for D2, 5-HT2A, and histamine H1 receptors was detd.

Ι

IT 229030-72-2P

RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(piperidinyl)propoxy]chromenones and their affinity for D2, 5-HT2A, and histamine H1 receptors)

RN 229030-72-2 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

# IT 220077-15-6P 220077-17-8P 220077-19-0P 220077-20-3P 229030-73-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [(piperidinyl)propoxy]chromenones and their affinity for D2, 5-HT2A, and histamine H1 receptors)

1.15

RN 220077-15-6 CAPLUS

CN 4H-1-Benzopyran-3-carboxylic acid, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

RN 220077-17-8 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-(hydroxymethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 220077-19-0 CAPLUS

CN 4H-1-Benzopyran-3-carboxaldehyde, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-oxo- (9CI) (CA INDEX NAME)

RN 220077-20-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methoxymethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

RN 229030-73-3 CAPLUS

CN 4H-1-Benzopyran-3-carboxylic acid, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-oxo- (9CI) (CA INDEX NAME)

## IT 183849-43-6

RE.CNT 2

RE

- (1) Ferrer; ES 9600323 A 1996
- (2) Ferrer; WO 9632389 A 1996 CAPLUS

ANSWER 9 OF 24 CAPLUS COPYRIGHT 2001 ACS 1999:355752 CAPLUS 131:719 ĎΝ A covalent conjugate of clozapine with a fatty acid and its use for TI treating schizophrenia Bradley, Matthews O.; Shashoua, Victor E.; Swindell, Charles S.; Webb, IN Nigel L. PA Neuromedica, Inc., USA PCT Int. Appl., 31 pp. SO CODEN: PIXXD2 DTPatent English LA FAN.CNT 1 PATENT NO. APPLICATION NO. KIND DATE DATE ----WO 1998-US24412 ΡI WO 9926661 **A**1 19990603 19981116 W: AU, CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE 19971126 US 6197764 B1 . 20010306 US 1997-978541 AU 9914115 19990615 AU 1999-14115 19981116 Α1 EP 1044023 Α1 20001018 EP 1998-957987 19981116 R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE JP 2001523732 T2 20011127 JP 2000-521862 19981116 PRAI US 1997-978541 19971126 Α WO 1998-US24412 W 19981116 The invention provides compns. that include conjugates of a fatty acid AB mol., preferably cis-docosahexaenoic acid, and clozapine. The conjugates are useful in treating psychol. disorders such as schizophrenia. Docosahexaenoic acid-clozapine (prepn. given) was at least six times longer-acting than clozapine against locomotor behavioral arousal in rats treated with R(-) apomorphine. IT 133454-47-4, Iloperidone RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical further contg.; clozapine conjugate with fatty acid for treating schizophrenia) RN 133454-47-4 CAPLUS Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-CN

piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RE.CNT 4

- (1) Marder, S; Journal of Clinical Psychiatry 1996, V57(Suppl 03), P9
- (2) Scotia Holdings PLC; EP 0599576 A 1994 CAPLUS
- (3) Shashoua, V; US 4939174 A 1990 CAPLUS
- (4) Stowell, M; WO 9817325 A 1998

```
ANSWER 10 OF 24 CAPLUS COPYRIGHT 2001 ACS
    1999:297269 CAPLUS
DN
     130:332902
TI
     Treatment of schizophrenia with AMPAkines and neuroleptics
IN
     Johnson, Steven A.; Rogers, Gary A.; Lynch, Gary S.
PA
     Cortex Pharmaceuticals, Inc., USA; The Regents of the University of
     California
     PCT Int. Appl., 59 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
    English
FAN.CNT 1
     PATENT NO.
                    KIND
                           DATE
                                          APPLICATION NO. DATE
     -----
                           -----
                     ____
                                          -----
    WO 9921422
                                        WO 1998-US22707 19981026
                     A1
                           19990506
PΙ
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    AU 9913658
                      A1
                           19990517
                                          AU 1999-13658
                                                           19981026
    EP 1026950
                      A1
                           20000816
                                          EP 1998-957382
                                                          19981026
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
    BR 9814106
                      Α
                           20001003
                                          BR 1998-14106
                                                                      not claimed
                                                          ( 19981026)
    US 6166008
                      Α
                           20001226
                                          US 1998-179341
     JP 2001520978
                      T2
                           20011106
                                          JP 2000-517599
PRAI US 1997-63627
                      Ρ
                           19971027
    WO 1998-US22707
                      W
                           19981026
    MARPAT 130:332902
OS
AΒ
    The invention relates to treatment of schizophrenia and related psychotic
     disorders, including enhancement of receptor functioning in synapses in
    brain networks responsible for higher order behaviors. In a particular
    aspect, the invention relates to methods for the use of AMPA receptor
     up-modulators in conjunction with antipsychotics for the treatment of
     schizophrenia. Kits contg. the compns. in appropriate form for
     administration are also provided. A representative AMPAkine (CX516)
     synergistically enhanced clozapine antagonism of methamphetamine-induced
     rearing activity.
IT
    133454-47-4, Iloperidone
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (AMPAkines and antipsychotic agents for treatment of schizophrenia)
    133454-47-4 CAPLUS
RN
CN
    Ethanone, 1-[4-(3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-
```

piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RE.CNT 2 RE

- (1) The Regents Of The University Of California; WO 9707799 A1 1997 CAPLUS (2) Vanover, K; Eur J Pharmacol 1997, V332(2), P115 CAPLUS

```
ANSWER 11 OF 24 CAPLUS COPYRIGHT 2001 ACS
AN
     1998:487828 CAPLUS
     129:122674
DN
     3-(Heteroaryl)-1-[(2,3-dihydro-1H-isoindol-2-yl)alkyl]pyrrolidines and
TI
     3-(heteroaryl)-1-[(2,3-dihydro-1H-indol-1-yl)alkyl]pyrrolidines and
     related compounds and their use as analgesics and antipsychotics
     Strupczewski, Joseph T.; Helsley, Grover C.; Glamkowski, Edward J.;
IN
     Chiang, Yulin; Bordeau, Kenneth J.; Nemoto, Peter A.; Tegeler, John J.
     Hoechst Marion Roussel, Inc., USA
PA
     U.S., 78 pp. Cont.-in-part of U.S. Ser. No. 144,265, abandoned.
SO
     CODEN: USXXAM
DT
     Patent
     English
LA
FAN.CNT 5
                       KIND
                             DATE
                                             APPLICATION NO.
     PATENT NO.
                                                               DATE
                       ____
                             _____
     US 5776963
                             19980707
                                             US 1994-329000
PΙ
                        Α
                                                               19941025
                                             ZA 1990 3830
     ZA 9003830
                        Α
                             19910227
                                                               19900518
                                             US 19$2-969383
     US 5364866
                        Α
                             19941115
                                                              19921030
                                             IL 1992 103622
                        A1
                             20001206
                                                               12921103
     IL 103622
                                                               19941027
                             19950504
                                             CA 1994-2175212
     CA 2175212
                        AA
     WO 9511680
                        A1
                             19950504
                                             WO 1994-US12054
                                                               19941027
         W: AU, CA, CN, CZ, JP, KR, NG, NZ, PL, RO, RU
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                             AU 1994-81228
                             19950522
                                                               19941027
     AU 9481228
                        Α1
     EP 730452
                        Α1
                             19960911
                                             EP 1995-900390
                                                               19941027
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                             19961120
                        Α
                                             CN 1994-194302
     CN 1136275
                                                               19941027
                        Т2
                             19971111
                                             JP 1994-512724
                                                               19941027
     JP 09511215
                                                               19941027
                        В1
                             20010531
                                             PL 1994-314135
     PL 181059
     ZA 9408501
                        Α
                             19960528
                                             ZA 1994-8501
                                                               19941028
     ZA 9500423
                        Α
                             19960528
                                             ZA 1995-423
                                                               19941028
     ZA 9502653
                        Α
                             19960528
                                             ZA 1995-2653
                                                               19941028
     US 5550130
                        Α
                             19960827
                                             US 1995-465697
                                                               19950606
                             19960903
                                             US 1995-466246
                                                               19950606
     US 5552414
                        Α
                                             US 1995-467173
     US 5554614
                        Α
                             19960910
                                                               19950606
     US 5556858
                             19960917
                                             US 1995-467387
                                                               19950606
                        Α
                             19960924
                                             US 1995-466726
                                                               19950606
     US 5559117
                        Α
                             19960924
                                             US 1995-469521
                                                               19950606
     US 5559116
                        Α
                             19960924
                                             US 1995-471237
                                                               19950606
     US 5559126
                        A
     US 5561128
                             19961001
                                             US 1995-469883
                                                               19950606
                        Α
                             19961029
                                             US 1995-471775
                                                               19950606
     US 5569653
                        Α
                             19961105
                                             US 1995-469361
                                                               19950606
     US 5571828
                        Α
                                             US 1995-471574
     US 5571814
                        Α
                             19961105
                                                               19950606
     US 5574032
                        Α
                             19961112
                                             US 1995-466765
                                                               19950606
     US 5578624
                             19961126
                                             US 1995-468076
                                                               19950606
                        Α
     US 5578605
                        Α
                             19961126
                                             US 1995-470437
                                                               19950606
     US 5580875
                        Α
                             19961203
                                             US 1995-466960
                                                               19950606
     US 5580890
                        Α
                             19961203
                                             US 1995-467794
                                                               19950606
     US 5580879
                        Α
                             19961203
                                             US 1995-467796
                                                               19950606
                             19961203
                                             US 1995-469884
                                                               19950606
     US 5580886
                        Α
                                             US 1995-471236
                        Α
                             19961203
                                                               19950606
     US 5580891
                                                               19950606
                                             US 1995-471753
                        Α
                             19961203
     US 5580887
                             19961210
                                             US 1995-466895
                                                               19950606
     US 5583145
                                             US 1995-468074
     US 5589488
                        Α
                             19961231
                                                               19950606
     US 5589494
                             19961231
                                             US 1995-470040
                                                               19950606
                        Α
     US 5589495
                             19961231
                                             US 1995-471515
                                                               19950606
```

US 5591745

Α

19970107

US 1995-469365

19950606

	US	5593995	Α	19970114		1995-471514	19950606
	US	5597842	Α	19970128	US	1995-470438	19950606
	US	5599821	Α	19970204	US	1995-469357	19950606
	US	5607945	A	19970304	US	1995-466821	19950606
		5612342	A	19970318	US	1995-466252	19950606
		5612343	A	19970318		1995-467912	19950606
		5614543	A	19970325	US	1995-469000	19950606
					U.S	1995-409000	19930000
		5614543	B1	19981215		1005 466772	10050606
		5624927	A	19970429		1995-466773	19950606
		5629326	A	19970513		1995-465707	19950606
		5639764	Α	19970617	US	1995-470836	19950606
	US	5646161	Α	19970708	US	1995-471755	19950606
	US	5648363	Α	19970715	US	1995-466767	19950606
	US	5652241	Α	19970729	US	1995-468344	19950606
	US	5654319	Α	19970805	US	1995-470704	19950606
	US	5663449	Α	19970902	US	1995-470059	19950606
		5811435	Α	19980922	US	1995-468991	19950606
		5811430	A	19980922		1995-471754	19950606
		5840727	A	19981124	US	1995-468960	19950606
	US		A	19981201	US	1995-467795	19950606
				19981201		1995-467951	19950606
		5843949	A				
		5854263	A	19981229		1995-469501	19950606
		5854243	A	19981229		1995-470715	19950606
		5874435	A	19990223	US	1995-470039	19950606
		5889035	Α	19990330	US	1995-467133	19950606
		5889004	A	19990330	US	1995-471393	19950606
	US	5919798	Α	19990706	US	1995-468075	19950606
	US	5965546	A	19991012	US	1995-471512	19950606
	US	5977140	Α	19991102	US	1995-465863	19950606
	US	5977113	Α	19991102	US	1995-466241	19950606
		5998417	Α	19991207	US	1995-468065	19950606
		6043240	A	20000328	US	1995-467401	19950606
		6110938	A	20000829	US	1995-471032	19950606
		6140345	A	20001031	US	1995-468611	19950606
	US	6207680	B1	2001031	US	1995-468993	19950606
			A	19961105	US	1995-577325	19951222
					US	1995-577151	19951222
		5637710	A	19970610			19960426
		9601686	A	19960614		1996-1686	
		288464	В6	20010613		1996-3628	19961210
	US	37029	E	20010123	US	1998-185968	19981105
		9897207	A1	19990422		1998-97207	19981218
		6251907	В1	20010626	US	1999-335271	19990617
PRAI	US	1989-354411	В2	19890519			
	US	1989-456790	В1	19891229			
	US	1990-619825	В1	19901129			
		1991-944705	B2	19910905			
	US	1991-788269	B2	19911105			
		1992-969383	A2	19921030			
		1993-144265	B2	19931028			
		1998-354411	B1	19890519			
		1994-329000		19941025			
			A n 2				
		1994-81228	A3	19941027			
		1994-US12054	W	19941027			
		1995-468611	A3	19950606			
		1995-471574	A5	19950606			
os	MAI	RPAT 129:122674					
GI							

AB Heteroaryl-substituted piperidines, pyrrolidines, and piperazines, specifically I [Q = N-substituted 3-pyrrolidinyl, 4-piperidinyl, or 1-piperazinyl; X = O, S, NH, NR2; R1 = H, alkyl, OH, Cl, F, Br, iodo, alkoxy, CF3, NO2, amino; R2 = alkyl, aralkyl, aryl, cycloalkyl, aroyl, alkanoyl, alkoxycarbonyl, phenylsulfonyl; p = 1 or 2], are useful as antipsychotic and analgesic agents. The compds. are esp. useful for treating psychosis, and depot derivs. in particular are useful for providing long-acting effects. For instance, coupling of 3-(1-piperazinyl)-1H-indazole with 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone in DMF contg. K2CO3 and KI at 90.degree. gave title compd. II. In the apomorphine-induced climbing assay in mice, selected I were typically over 8-fold more potent than clozapine. Similarly, 3 compds. I were more potent than propoxyphene and pentazocine in the phenylquinone-induced writhing test in mice.

TT

TI133454-45-2P 133454-46-3P 133454-47-4P 133454-48-5P 133454-50-9P 133454-51-0P 133454-52-1P 133454-53-2P 133454-54-3P 133454-55-4P 133454-56-5P 133454-58-7P 133454-59-8P 133454-60-1P 133454-61-2P 133454-62-3P 133454-63-4P 133454-64-5P 133454-65-6P 133454-66-7P 133454-67-8P 133454-68-9P 133454-69-0P 133454-70-3P 133454-71-4P 133454-72-5P 133454-75-8P 133454-76-9P 133454-78-1P 133454-79-2P 133454-80-5P 133454-81-6P 133454-82-7P 133454-83-8P 133454-84-9P 133454-85-0P 133454-86-1P 133454-87-2P 133454-88-3P 133454-89-4P 133454-90-7P 133454-91-8P 133454-92-9P 133454-93-0P 133454-94-1P 133454-95-2P 133454-96-3P 133454-97-4P 133454-98-5P 133454-99-6P 133455-00-2P 133455-01-3P 133455-02-4P 133455-04-6P 133455-05-7P 133455-06-8P 133455-07-9P 133483-85-9P 151718-66-0P 151718-70-6P 151718-72-8P 151718-73-9P 151718-75-1P 151718-76-2P 151718-77-3P 151718-78-4P 151718-79-5P 151718-80-8P 151718-81-9P

```
151718-82-0P 151718-83-1P 151718-85-3P
     151718-86-4P 151718-88-6P 151718-89-7P
     151719-08-3P 151719-09-4P 151719-10-7P
     151719-11-8P 151719-12-9P 151719-23-2P
     151719-24-3P 151719-26-5P 151719-28-7P
     151719-30-1P 151719-58-3P 151719-59-4P
     151719-61-8P 151719-63-0P 152018-90-1P
     152018-92-3P 152019-18-6P 152019-19-7P
     152019-21-1P 152019-23-3P 162438-80-4P
     162438-81-5P 167266-39-9P 167266-40-2P
     170170-47-5P 170170-48-6P 170216-73-6P
     170216-74-7P 170216-75-8P 170216-76-9P
     170216-77-0P 170216-78-1P 170217-55-7P
     170217-68-2P 170217-71-7P 170217-72-8P
     170217-82-0P 170217-83-1P 170218-77-6P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as
        antipsychotics and analgesics)
RN
     133454-45-2 CAPLUS
CN
     Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-
     methoxyphenyl] - (9CI)
                           (CA INDEX NAME)
```

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
OMe
\end{array}$$
Accompany to the content of the content of

RN 133454-46-3 CAPLUS
CN Ethanone, 1-[4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-47-4 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-48-5 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-50-9 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-51-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-50-9 CMF C23 H26 N2 O4

$$N$$
  $CH_2-CH_2-O$   $OMe$ 

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double kond geometry as shown.

RN 133454-52-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-53-2 CAPLUS

CN Ethanone, 1-[4-[4-(4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-52-1 CMF C24 H30 N4 O3

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
OMe
\end{array}$$
According to the content of the content of

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-54-3 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F O N AC N— 
$$CH_2-CH_2-O$$
 OMe

RN 133454-55-4 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 133454-56-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-58-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-59-8 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-60-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-61-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1,2-benzisoxazol-3-y1)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-62-3 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-y1)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-63-4 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-62-3 CMF C25 H29 C1 N2 O4

C1 ON ACCURACY (CH2) 
$$4-0$$
 OMe

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-64-5 · CAPLUS

CN Ethanone, 1-[4-[3-[4-(5-fluoro-1,2-benzisoxazol-3-y1)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-65-6 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 133454-66-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-65-6 CMF C22 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 133454-67-8 CAPLUS
CN Methanone, [3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-68-9 CAPLUS
CN Ethanone, 1-[4-[4-(4-(1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$N$$
— (CH<sub>2</sub>) 4—0— OMe

RN 133454-69-0 CAPLUS
CN Ethanone, 1-[4-[2-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-70-3 CAPLUS

CN Ethanone, 1-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-71-4 CAPLUS

CN Ethanone, 1-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-70-3 CMF C23 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-72-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-75-8 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-74-7 CMF C24 H28 F N3 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-76-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-78-1 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1piperidinyl]propoxy]-3-methylphenyl]-, (2E)-2-butenedioate (2:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 133454-77-0 CMF C24 H27 F N2.O3

F O N AC 
$$(CH_2)_3-O$$
 Me

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-79-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-80-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1

N

N

N

(CH2) 
$$3-0$$

OMe

RN 133454-81-6 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-82-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-83-8 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro- (9CI) (CA INDEX NAME)

RN 133454-84-9 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-83-8 CMF C30 H31 F N4 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-85-0 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1

N

N

N

(CH2) 
$$4-0$$

OMe

RN 133454-86-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-37-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-86-1 CMF C23 H27 N3 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-88-3 CAPLUS

CN Ethanone, 1-[3,5-dibromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-89-4 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-90-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-(3-phenoxypropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 133454-91-8 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-92-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-93-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 133454-94-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-95-2 CAPLUS

CN Methanone, [4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-96-3 CAPLUS

CN Ethanone, 1-[3-bromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-97-4 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[4-(1-ethoxyethyl)-2-methoxyphenoxy]propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 133454-98-5 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester) (9CI) (CA INDEX NAME)

RN 133454-99-6 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester), (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-98-5 CMF C26 H31 F N2 O5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-00-2 CAPLUS

CN 1-Pentanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-01-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 133455-02-4 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133455-01-3 CMF C22 H26 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-04-6 CAPLUS CN 1-Propanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F O N C-Et 
$$C-Et$$
 OMe

RN 133455-05-7 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

F O N 
$$C-NH_2$$
 O  $C-NH_2$  OMe

RN 133455-06-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)

F O N 
$$\sim$$
 (CH<sub>2</sub>) 3-O NHMe

RN 133455-07-9 CAPLUS

CN Ethanone, 1-[3-ethoxy-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133483-85-9 CAPLUS

CN Benzonitrile, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

RN 151718-66-0 CAPLUS

CN Ethanone, 1-[2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-methylphenyl]- (9CI) (CA INDEX NAME)

RN 151718-70-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

#### ● HCl

RN 151718-72-8 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

#### ●2 HC1

151718-73-9 CAPLUS RN

Acetamide, N-[5-acetyl-2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-CNpiperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

151718-75-1 CAPLUS RN

Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1piperidinyl]propoxy]-3,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  N  $\sim$ 

RN

151718-76-2 CAPLUS Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-CNpiperidinyl]propoxy] - (9CI) (CA INDEX NAME)

RN · 151718-77-3 CAPLUS

CN Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

piperidinyl]propoxy]-4-methoxy- (9CI) (CA INDEX NAME)

F 
$$O$$
 N  $NH_2$   $N-$  (CH<sub>2</sub>) 3-O  $O$  OMe

RN 151718-78-4 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151718-79-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>)<sub>3</sub>-O  $\sim$  OMe

HCl

RN 151718-80-8 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 151718-81-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, oxime (9CI) (CA INDEX NAME)

F O N 
$$\sim$$
 CCH2) 3-O OMe

RN 151718-82-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, O-methyloxime (9CI) (CA INDEX NAME)

F O N 
$$\parallel$$
 C-Me  $\parallel$  C-Me OMe

RN 151718-83-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, hydrazone (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  NH2  $\sim$  C  $\sim$  N  $\sim$  NH2  $\sim$  OMe

RN 151718-85-3 CAPLUS

CN Ethanone, 1-[4-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-86-4 CAPLUS

CN Ethanone, 1-[4-[[(2Z)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-88-6 CAPLUS

CN Ethanone, 1-[3-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-89-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(5-methoxy-1H-indol-6-yl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-08-3 CAPLUS

CN Phenol, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-(1-methoxyethyl)- (9CI) (CA INDEX NAME)

RN 151719-09-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-5-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-10-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-4-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-11-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-12-9 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 151719-23-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-24-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

F 
$$O$$
  $N$   $H_2N$   $N$   $CH_2)_3-O$ 

RN 151719-26-5 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151719-28-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>)<sub>3</sub>-O  $\sim$  OMe

RN 151719-30-1 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-7-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-58-3 CAPLUS
CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151719-59-4 CAPLUS
CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-61-8 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 151719-63-0 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 152018-90-1 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-26-5 CMF C23 H26 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152018-92-3 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-7-yloxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-30-1 CMF C23 H24 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152019-18-6 CAPLUS CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

Page 79

piperidinyl]propoxy]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-58-3 CMF C24 H24 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152019-19-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]-4-piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-59-4 CMF C24 H26 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152019-21-1 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-61-8 CMF C25 H29 F N2 O4 CDES 1:R

Absolute stereochemistry.

CM 2.

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 152019-23-3 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

## 09/712,129

CM 1

CRN 151719-63-0 CMF C25 H29 F N2 O4 CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 162438-80-4 CAPLUS

CN Ethanone, 1-[3-(dimethylamino)-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 162438-81-5 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 167266-39-9 CAPLUS
CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 167266-40-2 CAPLUS

CN Ethanone, 1-[3-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 170170-47-5 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-hydroxy-5-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 170170-48-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

F O N N— 
$$(CH_2)_3$$
 O C—  $CH_2$ —  $OH_2$ 

RN 170216-73-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 170216-74-7 CAPLUS

CN Acetamide, N-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 170216-75-8 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(3-ethyl-4-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 170216-76-9 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(3-ethyl-4-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 170216-77-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-y1)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133455-06-8 CMF C24 H28 F N3 O3

F O N AC N- (
$$CH_2$$
) 3-O NHMe

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 170216-78-1 CAPLUS

CN 2(1H)-Naphthalenone, 6-[3-[4-(6-fluoro-1.2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)

RN 170217-55-7 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(4-methoxyphenoxy)methyl]- (9CI) (CA INDEX NAME)

RN 170217-68-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-hydroxypropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F OH 
$$N - CH_2 - CH - CH_2 - O$$
 OMe  $OMe$ 

RN 170217-71-7 CAPLUS

CN Decanoic acid, 2-(4-acetyl-2-methoxyphenoxy)-1-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

RN 170217-72-8 CAPLUS

# 09/712,129

CN Decanoic acid, 2-(4-acetyl-2-methoxyphenoxy)-1-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]methyl]ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 170217-71-7 CMF C34 H45 F N2 O6

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
  $^{\mathrm{E}}$   $_{\mathrm{CO_2H}}$ 

RN 170217-82-0 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(2,5-dimethoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 170217-83-1 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(2,5-dimethoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 170218-77-6 CAPLUS

CN 1H-Indazole-1-carboxylic acid, 3-[1-(phenoxycarbonyl)-4-piperidinyl]-, phenyl ester (9CI) (CA INDEX NAME)

IT 170218-95-8

RL: RCT (Reactant)

(prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 170218-95-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(1-benzoyl-6-fluoro-1H-indazol-3-yl)-, phenyl ester (9CI) (CA INDEX NAME)

IT 151718-71-7P 170170-52-2P 170218-96-9P 170218-99-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 151718-71-7 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 170170-52-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-hydroxy-5-methoxyphenyl]- (9CI) (CA INDEX NAME)

F O N 
$$\sim$$
 (CH2)3-O  $\sim$  Ac

RN 170218-96-9 CAPLUS

I-Piperidinecarboxylic acid, 4-(1-benzoyl-6-fluoro-1H-indazol-3-yl)-,
phenyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 170218-95-8 CMF C26 H22 F N3 O3

CM 2

CRN 110-16-7 CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

RN 170218-99-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-(phenylsulfonyl)-1H-indazol-3-yl]-, phenyl ester (9CI) (CA INDEX NAME)

ANSWER 12 OF 24 CAPLUS COPYRIGHT 2001 ACS

1996:724186 CAPLUS

DN 126:8109

TI Preparation and formulation of [(benzisoxazolylpiperidino)propoxy]chromeno nes as antipsychotics and anxiolytics

IN Foguet, Rafael; Anglada, Lluis; Bolos, Jordi; Ortiz, Jose A.; Sacristan,
Aurelio; Castello, Josep M.

PA Ferrer Internacional, S.A., Spain

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	WO 9632389 W: AU, CA,			WO 1996-EP1551	19960411		
				, FR, GB, GR, IE, IT	LU, MC, 1	NL. P	S. SE
				ES 1995-737		, -	,
	ES 2101646						
	ES 2103237	A1	19970901		19960209		
	ES 2103237	В1	19980616				
				IL 1996-117646	19960325		
	ZA 9602391	Α	19970312	ZA 1996-2391	19960326		
				CA 1996-2192596			
			19961030	AU 1996-55004	19960411		
	AU 696494		19980910				
				EP 1996-912012	19960411		
	EP 765323			·			
				I, FR, GB, GR, IE, IT,		NL, P	S, SE
	JP 10501557	Т2	19980210	JP 1996-530716	19960411		
	AT 203022	E	20010715	AT 1996-912012	19960411		
	NO 9605300	Α	19961211	NO 1996-5300	19961211		
				US 1997-750790	19970314		
PRAI	ES 1995-737						
	ES 1996-323						
	WO 1996-EP1551	W	19960411				
	MARPAT 126:8109						
GI							

$$\mathbb{R}^{1}$$

AB Title compds. [I; R1 = 3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy][II; R = H or (hydroxy)alkyl] were prepd. Thus, I [R = CH2OH, R1 = O(CH2)3Cl] was aminated by 4-(6-fluoro-1,2-benzisoxazol-3-yl)piperidine to give II (R = CH2OH) which had ID50 of 0.4mg/kg orally against apomorphine-induced climbing in mice.

IT 183849-40-3P 183849-41-4P 183849-43-6P

I

## 183849-44-7P 183849-45-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and formulation of [(benzisoxazolylpiperidino)propoxy]chromenon es as antipsychotics and anxiolytics)

RN 183849-40-3 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 183849-41-4 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methyl- (9CI) (CA INDEX NAME)

RN 183849-43-6 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(hydroxymethyl)- (9CI) (CA INDEX NAME)

RN 183849-44-7 CAPLUS

CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

# ● HCl

RN 183849-45-8 CAPLUS
CN 4H-1-Benzopyran-4-one, 7-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(hydroxymethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

7

ANSWER 13 OF 24 CAPLUS COPYRIGHT 2001 ACS

1996:705556 CAPLUS

125:317375

TI Serotonin-2/dopamine-2 receptor-blocking agents for treating mental disorders associated with cerebrovascular disorders

IN Otomo, Eiichi

PA Sumitomo Pharmaceuticals Company, Limited, Japan

SO Can. Pat. Appl., 19 pp.

CODEN: CPXXEB

DT Patent

LA English

FAN.CNT 1

UZBH

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2167004	AΆ	19960713	CA 1996-2167004	19960111
	JP 08193033	A2	19960730	JP 1995-3191	19950112
	JP 2001316264	A2	20011113	JP 2001-135136	19950112
	EP 730865	$\Lambda 1$	19960911	EP 1996-100360	19960111
	R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI	, NL, PT, SE
	CN 1142945	A	19970219	CN 1996-101615	19960112
PRAI	JP 1995-3191	A	19950112		

AB The present invention provides an agent for treating mental disorders assocd. with cerebrovascular disorders. The agents are compds. which can block both serotonin-2 and dopamine-2 receptors, e.g. SM-9018. Prepn. of SM-9018 [N-(4-(4-(1,2-benzoisothiazol-3-yl)-1-piperazinyl)butyl)-1,2-ciscyclohexandicarboxyimide hydrochloride] is described, as are capsule and tablet formulations contg. it, and results of a clin. trial employing it.

TT 133454-47-4, HP 873
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Serotonin-2/dopamine-2 receptor-blocking agents for treating mental

disorders assocd. with cerebrovascular disorders)

RN 133454-47-4 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

```
\bigcap AN
      1995:913283 CAPLUS
  DN
       123:314016
  ΤI
       Preparation of heteroarylpiperidines, -pyrrolidines, and -piperazines as
       antipsychotics and analgesics
      Strupczewski, Joseph; Helsley, Grover C.; Glamkowski, Edward J.; Chiang,
 IN
      Yulin; Bordeau, Kenneth J.; Nemoto, Peter A.; Tegeler, John J.
      Hoechst-Roussel Pharmaceuticals Inc., USA
       PCT Int. Appl., 296 pp.
 SO
      CODEN: PIXXD2
       Patent
 LΑ
      English
  FAN.CNT 5
       PATENT NO.
                       KIND DATE
                                            APPLICATION NO.
                                                              DATE
                       ____
                                            _____
                      A1 19950504
      WO 9511680
                                          WO 1994-US12054 19941027
  PΙ
          W: AU, CA, CN, CZ, JP, KR, NO, NZ, PL, RO, RU
          RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
      US 5776963
                             19980707
                                            US 1994-329000
                                                             19941025
                       Α
      AU 9481228
                             19950522
                                            AU 1994-81228
                                                              19941027
                        Α1
       EP 730452
                        A1
                             19960911
                                            EP 1995-900390
                                                              19941027
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
       JP 09511215
                        T2
                             19971111
                                            JP 1994-512724
                                                              19941027
       PL 181059
                        В1
                             20010531
                                            PL 1994-314135
                                                              19941027
       ZA 9408501
                             19960528
                                            ZA 1994-8501
                                                              19941028
                        Α
       ZA 9500423
                             19960528
                                            ZA 1995-423
                                                              19941028
                        Α
       ZA 9502653
                                            ZA 1995-2653
                                                              19941028
                        Α
                             19960528
      NO 9601686
                             19960614
                                            NO 1996-1686
                                                             19960426
                        Α
  PRAI US 1993-144265
                        Α
                             19931028
      US 1994-329000
                        Α
                             19941025
      US 1989-354411
                        B2
                             19890519
      US 1989-456790
                        В1
                             19891229
      US 1990-619825
                        В1
                             19901129
      US 1991-944705
                        B2
                             19910905
      us 1991-798269
                        B2
                             19911105
      US 1992-969383
                        A2
                             19921030
      WO 1994-US12054
                        W
                             19941027
  OS
      MARPAT 123:314016
  GΙ
```

ANSWER 14 OF 24 CAPLUS COPYRIGHT 2001 ACS

Title compds. [I; R = heterocyclyl group Q; X = O, S, (un)substituted NH; Y = H, halo, alkyl, alkoxy, etc.; Y2 = heterocyclyloxyalkyl, (hetero)aryloxyalkyl, etc.; N = 0 and Z = CH; n = 1 and Z = CH or N; p = 1 or 2] were prepd. Thus, 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole was N-alkylated by 3,4-(MeO) (MeOC)C6H3(CH2)3Cl to give title compd. II which had ED50 of 0.095mg/kg i.p. for inhibition of apomorphine-induced climbing in mice.

```
IT
     133454-45-2P 133454-46-3P 133454-47-4P
     133454-48-5P 133454-50-9P 133454-51-0P
     133454-52-1P 133454-53-2P 133454-54-3P
     133454-55-4P 133454-56-5P 133454-58-7P
     133454-59-8P 133454-60-1P 133454-61-2P
     133454-62-3P 133454-63-4P 133454-64-5P
     133454-65-6P 133454-66-7P 133454-67-8P
     133454-68-9P 133454-69-0P 133454-70-3P
     133454-71-4P 133454-72-5P 133454-75-8P
     133454-76-9P 133454-78-1P 133454-79-2P
     133454-80-5P 133454-81-6P 133454-82-7P
     133454-83-8P 133454-84-9P 133454-85-0P
     133454-86-1P 133454-87-2P 133454-88-3P
     133454-89-4P 133454-90-7P 133454-91-8P
     133454-92-9P 133454-93-0P 133454-94-1P
     133454-95-2P 133454-96-3P 133454-97-4P
     133454-98-5P 133454-99-6P 133455-00-2P
     133455-01-3P 133455-02-4P 133455-04-6P
     133455-05-7P 133455-06-8P 133455-07-9P
     133483-85-9P 151718-66-0P 151718-70-6P
     151718-72-8P 151718-73-9P 151718-75-1P
     151718-76-2P 151718-77-3P 151718-78-4P
     151718-79-5P 151718-80-8P 151718-81-9P
     151718-82-0P 151718-83-1P 151718-85-3P
     151718-86-4P 151718-88-6P 151718-89-7P
     151719-08-3P 151719-09-4P 151719-10-7P
     151719-11-8P 151719-12-9P 151719-23-2P
    151719-24-3P 151719-26-5P 151719-28-7P
    151719-30-1P 151719-58-3P 151719-59-4P
     151719-61-8P 151719-63-0P 152018-90-1P
```

152018-92-3P 152019-18-6P 152019-19-7P 152019-21-1P 152019-23-3P 162438-80-4P 162438-81-5P 167266-39-9P 167266-40-2P 170170-47-5P 170170-48-6P 170216-73-6P 170216-74-7P 170216-75-8P 170216-76-9P 170216-77-0P 170216-78-1P 170217-55-7P 170217-68-2P 170217-71-7P 170217-72-8P 170217-82-0P 170217-83-1P 170218-77-6P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics) RN133454-45-2 CAPLUS Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-CN methoxyphenyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
CH_2)_3 - O \\
OMe
\end{array}$$
Ac

RN 133454-46-3 CAPLUS
CN Ethanone, 1-[4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-47-4 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

# 09/712,129

RN 133454-48-5 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-50-9 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$N$$
 $N$ 
 $CH_2-CH_2-O$ 
OMe

RN 133454-51-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-50-9 CMF C23 H26 N2 O4

$$N$$
—  $CH_2$ —  $CH_2$ —  $O$ —  $O$ Me

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

RN 133454-52-1 CAPLUS

CN Ethanone, 1-[4-[4-(4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3- methoxyphenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
OMe
\end{array}$$
Ac

RN 133454-53-2 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-52-1 CMF C24 H30 N4 O3

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
CH_2)_4 - O \\
OMe
\end{array}$$
Ac

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 133454-54-3 CAPLUS CN Ethanone, 1-[4-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

Page 99

piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

RN 133454-55-4 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 133454-56-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-58-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-59-8 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-60-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-61-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-62-3 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-63-4 CAPLUS
CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1piperidinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 133454-62-3 CMF C25 H29 C1 N2 O4

C1

N

(CH<sub>2</sub>) 
$$_4$$

OMe

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-64-5 CAPLUS
CN Ethanone, 1-[4-[3-[4-(5-fluoro-1,2-benzisoxazol-3-y1)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-65-6 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

$$MeO$$
 $N$ 
 $CH_2)_3-O$ 

RN 133454-66-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-65-6 CMF C22 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-67-8 CAPLUS

CN Methanone, [3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-68-9 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-69-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-70-3 CAPLUS

CN Ethanone, 1-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-71-4 CAPLUS

CN Ethanone, 1-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-70-3 CMF C23 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$HO_2C$$
  $E$   $CO_2H$ 

RN 133454-72-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-75-8 CAPLUS

CN Acetamide, N-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-74-7 CMF C24 H28 F N3 O4

CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 133454-76-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F N 
$$\sim$$
 (CH<sub>2</sub>) 3-0  $\sim$  OMe

RN 133454-78-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methylphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-77-0 CMF C24 H27 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-79-2 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-80-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1

N

N

N

(CH2) 
$$3-0$$

OMe

RN 133454-81-6 CAPLUS

CN Ethanone, 1-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

09/712,129

RN 133454-82-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F N N 
$$(CH_2)_4 - O$$
 OMe

RN 133454-83-8 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro- (9CI) (CA INDEX NAME)

RN 133454-84-9 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM :

CRN 133454-83-8 CMF C30 H31 F N4 O4

CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 133454-85-0 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1

N

N

N

N

(CH2) 
$$4-0$$

OMe

RN 133454-86-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

#### 09/712,129

RN 133454-87-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-86-1 CMF C23 H27 N3 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-88-3 CAPLUS

CN Ethanone, 1-[3,5-dibromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-89-4 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-90-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-(3-phenoxypropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 133454-91-8 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-92-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>)<sub>3</sub>-O  $\sim$  OMe

RN 133454-93-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

piperidinyl]propoxy]-3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 133454-94-1 CAPLUS

CN Ethanone, 1-[4-[4-(4-(1,2-benzisothiazol-3-y1)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-95-2 CAPLUS

CN Methanone, [4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

F O N O 
$$C-Ph$$
 O OMe

RN 133454-96-3 CAPLUS

CN Ethanone, 1-[3-bromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-97-4 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[4-(1-ethoxyethyl)-2-methoxyphenoxy]propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 133454-98-5 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester) (9CI) (CA INDEX NAME)

FOR 
$$CH-Me$$

OAC

CH-Me

OMe

RN 133454-99-6 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester), (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-98-5 CMF C26 H31 F N2 O5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-00-2 CAPLUS

CN 1-Pentanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-01-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 133455-02-4 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133455-01-3 CMF C22 H26 F N3 O2

# 09/712,129

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133455-04-6 CAPLUS

CN 1-Propanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-05-7 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

F O N 
$$C-NH_2$$
 O  $C-NH_2$  O OMe

RN 133455-06-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)

F O N AC N- (
$$CH_2$$
) 3-O NHMe

# 09/712,129

RN 133455-07-9 CAPLUS

CN Ethanone, 1-[3-ethoxy-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133483-85-9 CAPLUS

CN Benzonitrile, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

F O N 
$$(CH_2)_3 - O$$
 OMe

RN 151718-66-0 CAPLUS

CN Ethanone, 1-[2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-methylphenyl]- (9CI) (CA INDEX NAME)

RN 151718-70-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-y1)-1-piperidinyl]propoxy]-2-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

#### HCl

RN 151718-72-8 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

### ●2 HCl

RN 151718-73-9 CAPLUS

CN Acetamide, N-[5-acetyl-2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151718-75-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151718-76-2 CAPLUS

CN Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151718-77-3 CAPLUS

CN Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxy- (9CI) (CA INDEX NAME)

F 
$$O$$
  $N$   $N+2$   $N (CH2)3-O$   $OMe$ 

RN 151718-78-4 CAPLUS

CN Acetamide, N-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151718-79-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 151718-80-8 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 151718-81-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, oxime (9CI) (CA INDEX NAME)

F 
$$O$$
  $N$   $C-Me$   $C-Me$   $OMe$ 

RN 151718-82-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, O-methyloxime (9CI) (CA INDEX NAME)

RN 151718-83-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, hydrazone (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  NH2  $\sim$  C  $\sim$  Me  $\sim$  OMe

RN 151718-85-3 CAPLUS

CN Ethanone, 1-[4-[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-86-4 CAPLUS

CN Ethanone, 1-[4-[[(2Z)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-88-6 CAPLUS

CN Ethanone, 1-[3-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-89-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(5-methoxy-1H-indol-6-yl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-08-3 CAPLUS

CN Phenol, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-

(1-methoxyethyl) - (9CI) (CA INDEX NAME)

FON OME CH-Me
$$(CH_2)_3-O$$
OH

RN 151719-09-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-5-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-10-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-4-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-11-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-

piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-12-9 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 OH  $\sim$  CH-Me  $\sim$  OMe

RN 151719-23-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-24-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151719-26-5 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151719-28-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-30-1 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-7-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-58-3 CAPLUS

CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151719-59-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-61-8 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 151719-63-0 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 152018-90-1 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-26-5 CMF C23 H26 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152018-92-3 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-7-yloxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-30-1 CMF C23 H24 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 152019-18-6 CAPLUS

CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1piperidinyl]propoxy]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-58-3 CMF C24 H24 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$HO_2C$$
  $E$   $CO_2H$ 

RN 152019-19-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]-4-piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-59-4 CMF C24 H26 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

RN 152019-21-1 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-61-8

CMF C25 H29 F N2 O4

CDES 1:R

Absolute stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 152019-23-3 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-63-0 CMF C25 H29 F N2 O4

CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 162438-80-4 CAPLUS

CN Ethanone, 1-[3-(dimethylamino)-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 162438-81-5 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 167266-39-9 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

F O N N— 
$$(CH_2)_3$$
 O C—Me  $CH_2$ 

RN 167266-40-2 CAPLUS

CN Ethanone, 1-[3-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 170170-47-5 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-hydroxy-5-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

FOR 
$$N = (CH_2)_{3-0}$$
 OH  $CH = Me$  OH OH

RN 170170-48-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

RN 170216-73-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 170216-74-7 CAPLUS

CN Acetamide, N-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 170216-75-8 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(3-ethyl-4-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

09/712,129

HCl

RN 170216-76-9 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(3-ethyl-4-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>) 3  $\sim$  OMe

RN 170216-77-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133455-06-8 CMF C24 H28 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 170216-78-1 CAPLUS

CN 2(1H)-Naphthalenone, 6-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)

RN 170217-55-7 CAPLUS

CN 1-Piperidineethanol, 4-(6-fluoro-1,2-benzisoxazol-3-yl)-.alpha.-[(4-methoxyphenoxy)methyl]- (9CI) (CA INDEX NAME)

RN 170217-68-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-hydroxypropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 170217-71-7 CAPLUS

CN Decanoic acid, 2-(4-acetyl-2-methoxyphenoxy)-1-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

RN 170217-72-8 CAPLUS

# 09/712,129

CN Decanoic acid, 2-(4-acetyl-2-methoxyphenoxy)-1-[[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]methyl]ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 170217-71-7 CMF C34 H45 F N2 O6

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 170217-82-0 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(2,5-dimethoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

F O N N (
$$CH_2$$
) 3 - O OMe

# ● HCl

RN 170217-83-1 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(2,5-dimethoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro-(9CI) (CA INDEX NAME)

RN 170218-77-6 CAPLUS

CN 1H-Indazole-1-carboxylic acid, 3-[1-(phenoxycarbonyl)-4-piperidinyl]-, phenyl ester (9CI) (CA INDEX NAME)

IT 170218-95-8

RL: RCT (Reactant)

(prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 170218-95-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(1-benzoyl-6-fluoro-1H-indazol-3-yl)-, phenyl ester (9CI) (CA INDEX NAME)

IT 151718-71-7P 170170-52-2P 170218-96-9P 170218-99-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of heteroarylpiperidines, -pyrrolidines, and -piperazines as antipsychotics and analgesics)

RN 151718-71-7 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

F OH CH-Me

$$N \leftarrow (CH_2)_3 - O$$

OH

 $OH$ 
 $OH$ 
 $OH$ 

RN170170-52-2 CAPLUS

Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-CNpiperidinyl]propoxy]-2-hydroxy-5-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>)<sub>3</sub>-O  $\sim$  Ac

RN170218-96-9 CAPLUS

1-Piperidinecarboxylic acid, 4-(1-benzoyl-6-fluoro-1H-indazol-3-yl)-, CNphenyl ester, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

170218-95-8 CRN CMF C26 H22 F N3 O3

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

ANSWER 15 OF 24 CAPLUS COPYRIGHT 2001 ACS

1995:772587 CAPLUS AN

DN 123:169657

ΤI Preparation of heteroarylpiperidines, -pyrrolidines and -piperazines as antipsychotics and analgesics.

Strupczewski, Joseph T.; Helsley, Grover C.; Chiang, Yulin; Bordeau, Kenneth J.; Glamkowski, Edward J.

Hoechst-Roussel Pharmaceuticals, Inc., USA

U.S., 61 pp. Cont.-in-part of U.S. Ser. No.788,269, abandoned.

CODEN: USXXAM

Patent

LA	English									
FAN.	AN.CNT 5									
		TENT NO.		KIND	DATE		/ /	DATE		
ΡI	US	5364866		Α	19941115		/ /	19921030		
	zA	9003830		Α	19910227			19900518		
	IL	103622		A1	20001206		IL 1992-103622	19921103		
	WO	9309102		A1	19930513		WO 1992-US9276	19921104		
		W: AT,	ΑU,	BB, BG	BR, CA,	CH,	CS, DE, DK, ES, FI,	GB, HU,	JP,	ΚP,
		KR,	LK,	LU, MG	, MN, MW,	NL,	NO, PL, RO, RU, SD,	SE	•	•
							GB, GR, IE, IT, LU,		SE,	BF,
		ВJ,	CF,	CG, CI	, CM, GA,	GN,	ML, MR, SN, TD, TG			
	AU	9230570		A1	19930607		AU 1992-30570	19921104		
	ΑU	674499		В2	19970102					
	EΡ	612318		A1	19940831		EP 1992-924151			
		R: AT,	BE,	CH, DE	, DK, ES,	FR,	GB, GR, IE, IT, LI,	LU, MC, I	NL,	SE
		70855		A2	19951128		HU 1994-1316	19921104		
	RU	2127731		C1	19990320		RU 1994-28105	19921104		
		114447		B1	19990430			19921104		
		176230		B1	19990531		PL 1992-303452	19921104		
	EΡ	959076			19991124		EP 1999-111017			
			BE,				GB, GR, IT, LI, LU,		MC,	ΙE
	EΡ	959075		A1	19991124			19921104		
			BE,		, DK, ES,	FR,	GB, GR, IT, LI, LU,	NL, SE, 1	MC,	ΙE
		287611		В6	20010117		CZ 1994-1102			
	EΡ	1110954		A1						
	<b>T</b> D		BE,				GB, GR, IT, LI, LU,	NL, SE, I	MC,	ΙE
	EP	542136		A1	19930519		EP 1992-118982 1	19921105		
	EI D	R: PT		70.11	10001117		ED 1000 111016 1	10001105		
	EP	957102		A1	19991117		EP 1999-111016 1	19921105		
	מים	R: PT		25.71	10001016		ED 1000 11121E 1	10001105		
	E.P	963984		A1	19991215		EP 1999-111315 1	19921105		
	מים	R: PT 1052255		A1	20001115		ED 2000 115401 1	10021105		
	ĽР	R: PT		AI	20001115		EP 2000-115401 1	19921105		
	МО	9401647		А	19940504		NO 1004 1647 1	10040504		
		9402052		A	19940630			L9940504 L9940504		
		5658911		A	19970819			L9940304 L9940920		
		5776963		A	19980707			19941025		
		5550130		A	19960827			19950606		
		5552414		A	19960903			19950606		
		5554614		A	19960910			19950606		
		5556858		A	19960917			19950606		
		5559117		A	19960924			19950606		
		5559116		A	19960924			L9950606		
		5559126		A	19960924			19950606		
		5561128		A	19961001			19950606		
							100000			

US	5569653	Α	19961029	US	1995-471775	19950606
US	5571828	Α	19961105	US	1995-469361	19950606
				-		
US	5571814	Α	19961105	US	1995-471574	19950606
US	5574032	A	19961112	US	1995-466765	19950606
US	5578624	Α	19961126	US	1995-468076	19950606
US	5578605	Α	19961126	US	1995-470437	19950606
US	5580875	Α	19961203	US	1995-466960	19950606
US	5580890	Α	19961203	US	1995-467794	19950606
US	5580879	A	19961203	US	1995-467796	19950606
US	5580886	Α	19961203	US	1995-469884	19950606
US	5580891	Α	19961203	US	1995-471236	19950606
US	5580887	Α	19961203	US	1995-471753	19950606
US	5583145	A	19961210	US	1995-466895	19950606
US	5589488	A	19961231	US	1995-468074	19950606
US	5589494	A	19961231	US	1995-470040	19950606
US	5589495	A	19961231	US	1995-471515	19950606
US	5591745	A	19970107	US	1995-469365	19950606
US	5593995	A	19970114	US	1995-471514	19950606
US	5597842	A	19970128	US	1995-470438	19950606
	5599821					19950606
US	<b>-</b>	A	19970204	US	1995-469357	
US	5607945	Α	19970304	US	1995-466821	19950606
US	5612342	Α	19970318	US	1995-466252	19950606
US	5612343	A	19970318	US	1995-467912	19950606
US	5614543	A	19970325	US	1995-469000	19950606
ŲS	5614543	В1	19981215			
US	5624927	A	19970429	US	1995-466773	19950606
US	5629326	A	19970513	US	1995-465707	19950606
US	5639764	A	19970617	US	1995-470836	19950606
US	5646161	A	19970708	US	1995-471755	19950606
US	5648363	A	19970715	US	1995-466767	19950606
US	5652241	A	19970729	US	1995-468344	19950606
US	5654319	A	19970805	US	1995-470704	19950606
US	5663449	A	19970902	US	1995-470059	19950606
US	5811435	A	19980922	US	1995-468991	19950606
US	5811430	Α	19980922	US	1995-471754	19950606
ŲS	5840727	A	19981124	US	1995-468960	19950606
US	5843977	A	19981201	US	1995-467795	19950606
US	5843949	A	19981201	US	1995-467951	19950606
US	5854263	A	19981229	US	1995-469501	19950606
	5854243		19981229	US	1995-470715	19950606
US		A				
US	5874435	Α	19990223	US	1995-470039	19950606
US	5889035	A	19990330	US	1995-467133	19950606
US	5889004	A	19990330	US	1995-471393	19950606
	5919798		19990706	US		
US		A			1995-468075	19950606
US	5965546	A	19991012	US	1995-471512	19950606
US	5977140	A	19991102	US	1995-465863	19950606
US	5977113	Α	19991102	US	1995-466241	19950606
US	5998417	A	19991207	US	1995-468065	19950606
US	6043240	A	20000328	US	1995-467401	19950606
US	6110938	A	20000829	US	1995-471032	19950606
US	6140345	A	20001031		1995-468611	19950606
US	6207680	В1	20010327		1995-468993	19950606
US	5571803	A	19961105	US	1995-577325	19951222
US	5637710	Α	19970610	US	1995-577151	19951222
CZ	288464	В6	20010613	CZ	1996-3628	19961210
AU	9717754	A1	19970605	ΑU	1997-17754	19970402
AU	709451	В2	19990826			
US	37029	E	20010123	US	1998-185968	19981105
	= = =	_				

$$Q^{2}$$
 $Q^{2}$ 
 $Q^{2$ 

AΒ Title compds. [I; X = O, S, NH, NR2; R2 = alkyl, aryl, aralkyl, cycloalkyl, aroyl, alkanoyl, PhSO2; p = 1, 2; Y = H, alkyl, OH, Cl, F, Br, iodo, alkoxy, CF3, NO2, amino, OH, alkoxy; Q = Q1, Q2; Z = CH, N; Y2 = Q3, Q4, etc.; X1 = (CH2)n, CH2C.tplbond.CCH2, CH2CH:CHCH2, etc.; n = 2-5; R = 1H, alkyl, alkoxy OH, CO2H, Cl, F, Br, iodo, amino, dialkylamino, NO2, alkylthio, F3CO, aminocarbonyl, CHO, etc.; R3 = H, OMe; m = 1-3], were prepd. Thus, 3-(1-piperazinyl)-1H-indazole (prepn. given), K2CO3, 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone, and KI were stirred 5 h in DMF to give 64% 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3methoxyphenyl]ethanone. In the apomorphine-induced climbing assay in rats, I showed ED50 = 0.095-22.6 mg/kg, i.p.; I inhibited phenylquinone-induced writhing in mice with ED50 = 0.03-0.17 mg/kg s.c. IT 133454-45-2P 133454-46-3P 133454-47-4P 133454-48-5P 133454-49-6P 133454-50-9P 133454-51-0P 133454-53-2P 133454-54-3P 133454-56-5P 133454-58-7P 133454-59-8P 133454-60-1P 133454-61-2P 133454-62-3P 133454-63-4P 133454-64-5P 133454-65-6P 133454-66-7P 133454-67-8P 133454-68-9P 133454-69-0P 133454-70-3P 133454-71-4P 133454-72-5P 133454-74-7P 133454-75-8P

```
133454-76-9P 133454-77-0P 133454-78-1P
133454-79-2P 133454-80-5P 133454-82-7P
133454-84-9P 133454-85-0P 133454-87-2P
133454-88-3P 133454-89-4P 133454-90-7P
133454-91-8P 133454-92-9P 133454-93-0P
133454-94-1P 133454-95-2P 133454-96-3P
133454-97-4P 133454-98-5P 133454-99-6P
133455-00-2P 133455-01-3P 133455-02-4P
133455-03-5P 133455-04-6P 133455-05-7P
133455-06-8P 133455-07-9P 133483-85-9P
151718-66-0P 151718-68-2P 151718-70-6P
151718-72-8P 151718-73-9P 151718-74-0P
151718-75-1P 151718-76-2P 151718-77-3P
151718-78-4P 151718-79-5P 151718-80-8P
151718-81-9P 151718-82-0P 151718-83-1P
151718-85-3P 151718-86-4P 151718-87-5P
151718-88-6P 151718-89-7P 151719-09-4P
151719-10-7P 151719-11-8P 151719-12-9P
151719-22-1P 151719-23-2P 151719-24-3P
151719-26-5P 151719-27-6P 151719-28-7P
151719-58-3P 151719-59-4P 151719-61-8P
151719-63-0P 152018-90-1P 152018-91-2P
152018-92-3P 152019-18-6P 152019-19-7P
152019-21-1P 152019-23-3P 162438-80-4P
162438-81-5P 162438-82-6P 162438-83-7P
167266-39-9P 167266-40-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
   (prepn. of heteroarylpiperidines, -pyrrolidines and -piperazines as
   antipsychotics and analgesics)
133454-45-2 CAPLUS
Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-
methoxyphenyl] - (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
CH_2)_3 - O \\
OMe
\end{array}$$

```
RN 133454-46-3 CAPLUS
CN Ethanone, 1-[4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-
methoxyphenyl]- (9CI) (CA INDEX NAME)
```

RN

CN

RN 133454-47-4 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-48-5 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-49-6 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>) 4-O  $\sim$  OMe

RN 133454-50-9 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-

# 09/712,129

methoxyphenyl] - (9CI) (CA INDEX NAME)

$$N$$
  $CH_2-CH_2-O$   $OMe$   $OMe$ 

RN 133454-51-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-50-9 CMF C23 H26 N2 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\mathrm{HO_{2}C}}$$
  $^{\mathrm{E}}$   $_{\mathrm{CO_{2}H}}$ 

RN 133454-53-2 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-52-1 CMF C24 H30 N4 O3

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
N \\
N \\
OMe
\end{array}$$
According to the content of the con

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-54-3 CAPLUS
CN Ethanone, 1-[4-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$O$$
 N  $N$   $CH_2-CH_2-O$   $OMe$ 

RN 133454-56-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-58-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-59-8 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F N N N (CH<sub>2</sub>) 
$$_4$$
 O OMe

RN 133454-60-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-61-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $N$ 
 $CH_2)_3-O$ 
 $OMe$ 
 $OMe$ 

RN 133454-62-3 CAPLUS
CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-y1)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1 O N AC 
$$N-(CH_2)_4-O$$
 OMe

RN 133454-63-4 CAPLUS
CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1piperidinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 133454-62-3 CMF C25 H29 C1 N2 O4

C1 O N AC 
$$(CH_2)_4 - O$$
 OMe

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$HO_2C$$
  $E$   $CO_2H$ 

RN 133454-64-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(5-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-65-6 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 133454-66-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-65-6 CMF C22 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

# 09/712,129

Double bond geometry as shown.

$$HO_2C$$
  $E$   $CO_2H$ 

RN 133454-67-8 CAPLUS

CN Methanone, [3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-68-9 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperidinyl]butoxy]-3- methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-69-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $N$ 
 $CH_2$ 
 $CH_2$ 
 $OMe$ 
 $OMe$ 

RN 133454-70-3 CAPLUS

CN Ethanone, 1-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-71-4 CAPLUS

CN Ethanone, 1-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-70-3 CMF C23 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-72-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-74-7 CAPLUS

CN Acetamide, N-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-75-8 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-74-7 CMF C24 H28 F N3 O4

F 
$$\sim$$
 NHAC NHAC OME

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-76-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F N N 
$$(CH_2)_3 - O$$
 OMe

RN 133454-77-0 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-y1)-1-piperidinyl]propoxy]-3-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-78-1 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methylphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-77-0 CMF C24 H27 F N2 O3

F O N AC N 
$$\sim$$
 (CH<sub>2</sub>) 3-O Me

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-79-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-80-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-82-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-84-9 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-83-8 CMF C30 H31 F N4 O4

CHI COU HOI I NA

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-85-0 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-87-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-86-1 CMF C23 H27 N3 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-88-3 CAPLUS

CN Ethanone, 1-[3,5-dibromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-89-4 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-90-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-(3-phenoxypropyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 133454-91-8 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-92-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-93-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 133454-94-1 CAPLUS

CN Ethanone, 1-[4-[4-(4-(1,2-benzisothiazol-3-y1)-1-piperidinyl]butoxy]-3-

# 09/712,129

methoxyphenyl] - (9CI) (CA INDEX NAME)

RN 133454-95-2 CAPLUS

CN Methanone, [4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-96-3 CAPLUS

CN Ethanone, 1-[3-bromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-97-4 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[4-(1-ethoxyethy1)-2-methoxyphenoxy]propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 133454-98-5 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester) (9CI) (CA INDEX NAME)

RN 133454-99-6 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester), (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-98-5 CMF C26 H31 F N2 O5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

RN 133455-00-2 CAPLUS

CN 1-Pentanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-01-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl- (9CI) (CA INDEX NAME)

RN 133455-02-4 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133455-01-3 CMF C22 H26 F N3 O2

CM 2

CRN 110-17-8

## 09/712,129

CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-03-5 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(4-bromo-2-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 133455-04-6 CAPLUS

CN 1-Propanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-05-7 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

RN 133455-06-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)

RN 133455-07-9 CAPLUS

CN Ethanone, 1-[3-ethoxy-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133483-85-9 CAPLUS

CN Benzonitrile, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

F O N 
$$(CH_2)_{3}$$
 O OMe

RN 151718-66-0 CAPLUS

CN Ethanone, 1-[2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-methylphenyl]- (9CI) (CA INDEX NAME)

RN 151718-68-2 CAPLUS

CN Acetamide, N-[2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

#### 09/712,129

piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

F O N AcNH 
$$N-(CH_2)_3-O$$

RN 151718-70-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 151718-72-8 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 151718-73-9 CAPLUS

CN Acetamide, N-[5-acetyl-2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151718-74-0 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(4-ethyl-3-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

F O N OME Et 
$$N \leftarrow (CH_2)_{3} - O$$

HCl

RN 151718-75-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151718-76-2 CAPLUS

CN Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151718-77-3 CAPLUS

CN Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

## 09/712,129

piperidinyl]propoxy]-4-methoxy- (9CI) (CA INDEX NAME)

RN 151718-78-4 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151718-79-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

# • HCl

RN 151718-80-8 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

F O N 
$$C-NMe_2$$
 O  $C-NMe_2$  O OMe

RN 151718-81-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, oxime (9CI) (CA INDEX NAME)

F O N 
$$C-Me$$

OMe

RN 151718-82-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, O-methyloxime (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  N  $\sim$ 

RN 151718-83-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, hydrazone (9CI) (CA INDEX NAME)

RN 151718-85-3 CAPLUS

CN Ethanone, 1-[4-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-y1)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-86-4 CAPLUS

CN Ethanone, 1-[4-[[(2Z)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-87-5 CAPLUS

CN Ethanone, 1-[3-[[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-hydroxyphenyl]-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HCl

RN 151718-88-6 CAPLUS

CN Ethanone, 1-[3-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-89-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(5-methoxy-1H-indol-6-yl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-09-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-5-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

## 09/712,129

RN 151719-10-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-4-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-11-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoxo-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-12-9 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 151719-22-1 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[4-(1-ethoxyethyl)-2-methoxyphenoxy]propyl]-4-

piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 151719-23-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-24-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151719-26-5 CAPLUS

CN Acetamide, N-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151719-27-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)

RN 151719-28-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>)<sub>3</sub>-O  $\sim$  OMe

RN 151719-58-3 CAPLUS

CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151719-59-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-61-8 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 151719-63-0 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 152018-90-1 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

09/712,129

CRN 151719-26-5 CMF C23 H26 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152018-91-2 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-y1)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-27-6 CMF C24 H28 F N3 O2 S

F S N AC N— 
$$(CH_2)_3$$
 O NHMe

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 152018-92-3 CAPLUS
CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-7-yloxy)propyl]-4 piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-30-1
 CMF C23 H24 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152019-18-6 CAPLUS
CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-58-3 CMF C24 H24 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 152019-19-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]-4-piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-59-4 CMF C24 H26 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Page 174

Double bond geometry as shown.

RN 152019-21-1 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-61-8 CMF C25 H29 F N2 O4

CDES 1:R

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 152019-23-3 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-63-0 CMF C25 H29 F N2 O4 CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 162438-80-4 CAPLUS

CN Ethanone, 1-[3-(dimethylamino)-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 162438-81-5 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]propyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

F 
$$O$$
  $N$   $CH_2$   $C-Me$   $C-Me$   $OMe$ 

● HCl

PN 162438-82-6 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(4-ethyl-2-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 162438-83-7 CAPLUS

CN 1(2H)-Naphthalenone, 6-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>) 3-0  $\sim$  MeO

RN 167266-39-9 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[2-methoxy-4-(1-methylethenyl)phenoxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 167266-40-2 CAPLUS

CN Ethanone, 1-[3-[[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-hydroxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 151719-08-3P

RL: BYP (Byproduct); PREP (Preparation)
 (prepn. of heteroarylpiperidines, -pyrrolidines and -piperazines as
 antipsychotics and analgesics)

RN 151719-08-3 CAPLUS

CN Phenol, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-(1-methoxyethyl)- (9CI) (CA INDEX NAME)

IT 133454-55-4 133454-57-6

RL: RCT (Reactant)

(prepn. of heteroarylpiperidines, -pyrrolidines and -piperazines as antipsychotics and analgesics)

RN 133454-55-4 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 133454-57-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

IT 151718-71-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of heteroarylpiperidines, -pyrrolidines and -piperazines as antipsychotics and analgesics)

RN 151718-71-7 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

```
09/712,129
```

ANSWER 16 OF 24 CAPLUS COPYRIGHT 2001 ACS 1995:652268 CAPLUS DN 123:55888 TΙ Preparation of psoralen derivatives as drugs ΤN Hansen, John Bondo; Groenvald, Frederik Christian PA Novo Nodisk A/S, Den. SO PCT Int. Appl., 37 pp. CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_\_\_ 19941208 ΡI WO 9427998 Α1 WO 1994-DK200 19940525 W: AU, BG, BY, CA, CN, CZ, FI, HU, JP, KP, KR, KZ, LV, NO, NZ, PL, RO, RU, SK, UA, US, UZ RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, ET, LU, MC, NL, PT, SE AU 9469240 A1 19941220 AU 1994-692:40 19940525 EP 700398 A1 19960313 EP 1994-917565 19940525 EP 700398 В1 19971126 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 08510264 Т2 19961029 JP 1994-500124 19940525 AT 160567 Е 19971215 AT 1994-917565 19940525 FI 9505680 Α 19951124 FI 1995-5680 19951124 NO 9504764 Α 19960125 NO 1995-4764 19951124 PRAI DK 1993-607 19930526 WO 1994-DK200 19940525 OS MARPAT 123:55888 GΙ

$$R^{1-X} N-A - O - R^{2}$$

$$Q = 0$$

Title compds. [I; A is hydrocarbon contg. 2-6 C-atoms; R1 is (un)substituted benzoyl, (un)substituted heterocyclyl; R2 = (un)substituted Q] and their pharmaceutically acceptable salts, useful in the treatment of indications related to the CNS-system, cardiovascular system or gastrointestinal disorders (no data), are prepd. Thus, 1-(2-chlorophenyl)piperazine was reacted with 9-(3-bromopropoxy)psoralen in acetone contg. K2CO3 under reflux for 16 h followed by treatment with HCl to give the title compd. 9-[3-[4-(2-chlorophenyl)piperazin-1-yl]propoxy]psoralen hydrochloride. General procedures for testing the title compds. but without specific data are described. Pharmaceutical compns. contg. I are described.

IT 164387-42-2P 164387-50-2P 164387-56-8P 164387-58-0P 164387-59-1P 164387-60-4P 164387-65-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of psoralen derivs. as drugs)

RN 164387-42-2 CAPLUS

CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 164387-41-1 CMF C26 H23 F N2 O5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 164387-50-2 CAPLUS

CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-, ethanedioate (9CI) (CA INDEX NAME)

CM I

CRN 164387-49-9 CMF C27 H25 F N2 O5

CRN 144-62-7 CMF C2 H2 O4

RN 164387-56-8 CAPLUS

CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 164387-55-7 CMF C25 H21 F N2 O5

CRN 144-62-7 CMF C2 H2 O4

RN 164387-58-0 CAPLUS

CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 164387-57-9 CMF C24 H21 N3 O4 S

CRN 144-62-7 CMF C2 H2 O4

RN 164387-59-1 CAPLUS

CN 7H-Furo[3,2-g][1]benzopyran-7-one, 4-chloro-9-[4-[4-(6-fluore-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 164387-60-4 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 4-bromo-9-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 164387-65-9 CAPLUS
CN 7H-Furo[3,2-g][1]benzopyran-7-one, 9-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

¥17

ANSWER 17 OF 24 CAPLUS COPYRIGHT 2001 ACS

1994:106994 CAPLUS

120:106994

TI Preparation of heteroaryl-8-azabicyclo(3.2.1)octanes as antipsychotic agents, 5-HT3 receptor antagonists and inhibitors of the reuptake of serotonin

IN Glamkowski, Edward J.; Fink, David M.; Kurys, Barbara E.; Chiang, Yulin

PA Hoechst-Roussel Pharmaceuticals Inc., USA

SO U.S., 15 pp. Cont.-in-part of U.S. Ser. No. 650,144, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

EATA.			KIND	DATE	AP	PLICATION NO.	DATE			
ΡI	US	5234931	Α	19930810	US	1992-831027	19920204			
	FI	9200435	Α	19920805	FI	1992-435	19920131			
	CA	2060573	AA	19920805	CA	1992-2060573	19920203			
	ИО	9200438	A	19920805	NO	1992-438	19920203			
	ΑU	9210605	A1	19920806	AU	1992-10605	19920203			
	ΑU	641842	B2	19930930						
	HU	60494	A2	19920928	HU	1992-321	19920203			
	HU	207863	В	19930628						
	zA	9200753	Α	19921028	ZA	1992-753	19920203			
	JP	05059049	A2	19930309	JР	1992-17668	19920203			
	JP	08009613	B4	19960131						
	HU	62295	A2	19930428	HU	1992-3977	19920203			
	HU	217616	В	20000328						
	$\mathtt{PL}$	169092	В1	19960531	$\mathtt{PL}$	1992-293363	19920203			
	AT	138377	E	19960615	AT	1992-101706	19920203			
	ES	2089255	Т3	19961001	ES	1992-101706	19920203			
	IL	100861	A1	19970218	IL	1992-100861	19920203			
	RU	2075479	C1	19970320	RU	1992-5010691	19920203			
	CZ	284754	В6	19990217	CZ	1992-297	19920203			
		5334599	Α	19940802	US	1993-37134	19930325			
	US	5340936	Α	19940823	US	1993-37047	19930325			
PRAI	US	1991-650144	В2	19910204						
		1992-321	A3	19920203						
	US	1992-831027	A3	19920204						
os	MARPAT 120:106994									
GI										

$$(Y)_{p}$$
 $(Y)_{p}$ 
 $(Y)_{p}$ 
 $(Y)_{p}$ 
 $(Y)_{p}$ 
 $(Y)_{p}$ 
 $(Y)_{p}$ 
 $(Y)_{p}$ 

AB Title compds. I (X = O, S; Y = H, halo, alkoxy; p, m = 1,2; n = 2-4; R = H, halo, alkyl, alkoxy, HO, halo, H2N, alkylamino, O2N, alkylthio, F3CO, NC, F3C, alkylcarbonyl, (substituted) arylcarbonyl) or a salt, geometric

Ι

IT

or optical isomers thereof, showing the effects described in the title, are prepd. Di-Et 1-(2-fluorophenyl)-1-methoxymethanephosphonate (prepn. given) in THF was treated with BuLi and tropinone to give (2-fluorophenyl)(8-methyl-8-azabicyclo[3.2.1]octan-3-yl)methanone-HCl which was converted in 4 steps to give I (X = 0, Rm = 3,4-(MeO)Ac, Yp = H, n = 4).HCl (II). In an assay for potential antidepressant activity which block serotonin uptake the IC50 of II was 0.027 .mu.M.

144062-09-9P 144062-10-2P 144062-11-3P 144062-12-4P 144062-13-5P 144062-14-6P 144062-15-7P 144062-16-8P 144062-17-9P 144062-18-0P 144062-19-1P 144062-20-4P 144062-21-5P 144062-22-6P 144062-23-7P 144253-62-3P 144253-63-4P 144253-64-5P 144253-65-6P 144253-66-7P 144253-67-8P

144253-71-4P 152535-40-5P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as drug)

144253-68-9P 144253-69-0P 144253-70-3P

RN 144062-09-9 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-10-2 CAPLUS

CN Ethanone, 1-[4-[3-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-11-3 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-12-4 CAPLUS

CN Ethanone, 1-[4-[2-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-13-5 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-14-6 CAPLUS

CN Ethanone, 1-[4-[4-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-15-7 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-16-8 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-17-9 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-18-0 CAPLUS

CN Ethanone, 1-[4-[2-[3-(6-fluoro-1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-19-1 CAPLUS

CN Ethanone, 1-[4-[4-[3-(6-fluoro-1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-20-4 CAPLUS

CN Ethanone, 1-[4-[3-[3-(6-fluoro-1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-21-5 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-22-6 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-23-7 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144253-62-3 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 144253-63-4 CAPLUS

CN Ethanone, 1-[4-[3-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 144253-64-5 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-11-3 CMF C26 H30 N2 O4

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-65-6 CAPLUS

CN Ethanone, 1-[4-[2-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-12-4 CMF C25 H27 F N2 O4

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-66-7 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM I

CRN 144062-13-5 CMF C25 H28 N2 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-67-8 CAPLUS
CN Ethanone, 1-[4-[4-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CRN 144062-14-6 CMF C27 H31 F N2 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-68-9 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-17-9 CMF C27 H33 N3 O3

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-69-0 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-21-5 CMF C25 H28 N2 O3 S

## 09/712,129

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-70-3 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-22-6 CMF C26 H30 N2 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-71-4 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 152535-40-5 CAPLUS
CN Ethanone, 1-[4-[3-[3-(6-fluoro-1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

**§**<sup>L17</sup> ANSWER 18 OF 24 CAPLUS COPYRIGHT 2001 ACS

1994:54553 CAPLUS AN

DN 120:54553

ΤI Preparation of heteroarylpiperidines, pyrrolidines and piperazines and their use as antipsychotics and analgetics

IN Strupczewski, Joseph T.; Helsley, Grover C.; Chiang, Yulin; Bordeau, Kenneth J.; Glamkowski, Edward J.

Hoechst-Roussel Pharmaceuticals Inc., USA

Eur. Pat. Appl., 197 pp.

CODEN: EPXXDW

DT Patent

LΑ English

FAN.	CNT 5			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
PI	EP 542136	A1	19930519	EP 1992-118982 19921105
	R: PT US 5364866	Α	19941115	us 1992-969383 19921030
	IL 103622	A1	20001206	IL 1992 <del>-103622</del> 19921103
	EP 957102 R: PT	A1	19991117	EP 1999-111016 19921105
	EP 963934 R: PT	A1	19991215	EP 1999-111315 19921105
	EP 1052255 R: PT	A1	20001115	EP 2000-115401 19921105
PRAI	US 1991-788269	A	19911105	
	US 1992-969383	Α	19921030	
	US 1989-354411	B2	19890519	
	US 1989-156790	В1	19891229	
	US 1990-619825	B1	19901129	
	US 1991-944705	В2	19910905	
	EP 1992-118982	А3	19921105	
OS	MARPAT 120:54553			
GI				

$$Y_p$$
 $X$ 
 $N$ 
 $I$ 
 $OMe$ 
 $N (CH_2) 3O$ 
 $OMe$ 
 $II$ 

AΒ Title compds. I (X = O, S, NH, R2N wherein R2 = alkyl, arylalkyl, aryl, cycloalkyl, aroyl, alkanoyl, PhSO2; Y = H, alkyl, HO, halo, alkoxy, F3C, O2N, H2N; p = 1, 2; Q = substituted piperidinyl, -piperazinyl,-heterocyclyl, etc.), geometrical optical and stereoisomers, or a salt

IT

RN

thereof, are prepd. 6-Fluoro-3-(4-piperidinyl)-1,2-benzoxazole-HCl, 1-(4-(3-chloropropoxy)-3-methoxyphenyl]ethanone, and DMF were heated at 90.degree. for 16 h to give the title compd. II. The antipsychotic activity in the climbing mice assay for II was ED50 0.095 mg/kg i.p. and the analgesic activity as shown by inhibition of phenylquinone induced-writhing was ED50 0.03 mg/kg 5.0. A large no. of I was prepd. 151719-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, on prepn. of analgesics and antipsychotics) 151719-93-6 CAPLUS

CN Benzenemethanol, 3-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-hydroxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

TΤ 133454-45-2P 133454-46-3P 133454-47-4P 133454-48-5P 133454-49-6P 133454-50-9P 133454-51-0P 133454-52-1P 133454-53-2P 133454-54-3P 133454-55-4P 133454-56-5P 133454-57-6P 133454-58-7P 133454-59-8P 133454-60-1P 133454-61-2P 133454-62-3P 133454-63-4P 133454-64-5P 133454-65-6P 133454-66-7P 133454-67-8P 133454-68-9P 133454-69-0P 133454-70-3P 133454-71-4P 133454-72-5P 133454-74-7P 133454-75-8P 133454-76-9P 133454-77-0P 133454-78-1P 133454-79-2P 133454-80-5P 133454-81-6P 133454-82-7P 133454-83-8P 133454-84-9P 133454-85-0P 133454-86-1P 133454-87-2P 133454-88-3P 133454-89-4P 133454-91-8P 133454-92-9P 133454-93-0P 133454-94-1P 133454-95-2P 133454-96-3P 133454-97-4P 133454-98-5P 133454-99-6P 133455-00-2P 133455-01-3P 133455-02-4P 133455-04-6P 133455-05-7P 133455-06-8P 133455-07-9P 133483-85-9P 151718-66-0P 151718-68-2P 151718-69-3P 151718-70-6P 151718-71-7P 151718-72-8P 151718-73-9P 151718-74-0P 151718-75-1P 151718-76-2P 151718-77-3P 151718-78-4P 151718-79-5P 151718-80-8P 151718-81-9P 151718-82-0P 151718-83-1P 151718-85-3P 151718-86-4P 151718-87-5P 151718-88-6P 151718-89-7P 151718-93-3P 151719-08-3P 151719-09-4P 151719-10-7P 151719-11-8P 151719-12-9P 151719-21-0P 151719-22-1P 151719-23-2P 151719-24-3P 151719-25-4P 151719-26-5P 151719-27-6P 151719-28-7P 151719-30-1P 151719-58-3P 151719-59-4P 151719-61-8P 151719-63-0P

152018-90-1P 152018-91-2P 152018-92-3P 152019-18-6P 152019-19-7P 152019-21-1P 152019-23-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as analgesic and antipsychotic)

RN 133454-45-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-46-3 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-47-4 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-y1)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F O N AC 
$$(CH_2)_3 - O$$
 OMe

RN 133454-48-5 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-49-6 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-50-9 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-51-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-50-9 CMF C23 H26 N2 O4

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\text{HO}_2\text{C}}$$
  $^{\text{E}}$   $_{\text{CO}_2\text{H}}$ 

RN 133454-52-1 CAPLUS

CN Ethanone, 1-[4-[4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
OMe
\end{array}$$
Accompany to the content of the content of

RN 133454-53-2 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-52-1 CMF C24 H30 N4 O3

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-54-3 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  CH<sub>2</sub>-CH<sub>2</sub>-O  $\sim$  OMe

RN 133454-55-4 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 133454-56-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-57-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-58-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-59-8 CAPLUS

CN Ethanone, 1-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F N N N 
$$\sim$$
 (CH<sub>2</sub>) 4-0 OMe

RN 133454-60-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-61-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-62-3 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-63-4 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-62-3

CMF C25 H29 C1 N2 O4

09/712,129

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-64-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(5-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$P$$

N

(CH<sub>2</sub>) 3-0

OMe

RN 133454-65-6 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 133454-66-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-65-6

CMF C22 H25 F N2 O3

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-67-8 CAPLUS

CN Methanone, [3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-68-9 CAPLUS

CN Ethanone, 1-[4-[4-(4-(1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-69-0 CAPLUS

## 09/712,129

CN Ethanone, 1-[4-[2-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$N - CH_2 - CH_2 - O$$
OMe

RN 133454-70-3 CAPLUS

CN Ethanone, 1-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-71-4 CAPLUS

CN Ethanone, 1-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-70-3 CMF C23 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-72-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-74-7 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-75-8 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-74-7 CMF C24 H28 F N3 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-76-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F N N 
$$N \rightarrow (CH_2)_3 - O \rightarrow OMe$$

RN 133454-77-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-78-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methylphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-77-0 CMF C24 H27 F N2 O3

## 09/712,129

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-79-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-80-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1

N

N

N

N

(CH2) 
$$3-0$$

OMe

RN 133454-81-6 CAPLUS

CN Ethanone, 1-[4-[4-(4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-82-7 CAPLUS

CN Ethanone, 1-[4-[4-(6-fluoro-1H-indazol-3-y1)-1-piperidinyl]butoxy]-3-

methoxyphenyl] - (9CI) (CA INDEX NAME)

RN 133454-83-8 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro- (9CI) (CA INDEX NAME)

RN 133454-84-9 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro-, (2E)-2-butenedioate (2:3) (9CI). (CA INDEX NAME)

CM 1

CRN 133454-83-8 CMF C30 H31 F N4 O4

09/712,129

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-85-0 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1

N

N

N

N

(CH<sub>2</sub>) 
$$_4$$

OMe

RN 133454-86-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-87-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-86-1 CMF C23 H27 N3 O3 S

CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-88-3 CAPLUS

CN Ethanone, 1-[3,5-dibromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-89-4 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-91-8 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-92-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-93-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 133454-94-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-95-2 CAPLUS

CN Methanone, [4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-96-3 CAPLUS

CN Ethanone, 1-[3-bromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-97-4 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[4-(1-ethoxyethyl)-2-methoxyphenoxy]propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 133454-98-5 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester) (9CI) (CA INDEX NAME)

RN 133454-99-6 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester), (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-98-5 CMF C26 H31 F N2 O5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-00-2 CAPLUS

CN 1-Pentanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-01-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 133455-02-4 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133455-01-3 CMF C22 H26 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-04-6 CAPLUS

CN 1-Propanone, 1-[4-(3-[4-(6-fluoro-1,2-benzisoxazol-3-y1)-1-

piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-05-7 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

F O N 
$$C-NH_2$$
 OMe

RN 133455-06-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)

RN 133455-07-9 CAPLUS

CN Ethanone, 1-[3-ethoxy-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133483-85-9 CAPLUS

CN Benzonitrile, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

RN 151718-66-0 CAPLUS

CN Ethanone, 1-[2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-methylphenyl]- (9CI) (CA INDEX NAME)

RN 151718-68-2 CAPLUS

CN Acetamide, N-[2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151718-69-3 CAPLUS

CN Ethanone, 1-[4'-(dimethylamino)-6-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy][1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

RN 151718-70-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 151718-71-7 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 151718-72-8 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HCl

RN 151718-73-9 CAPLUS

CN Acetamide, N-[5-acetyl-2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151718-74-0 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(4-ethyl-3-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

# ● HCl

RN 151718-75-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151718-76-2 CAPLUS

CN Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151718-77-3 CAPLUS

CN Benzenamine, 3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxy- (9CI) (CA INDEX NAME)

RN 151718-78-4 CAPLUS

CN Acetamide, N-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 NHAc NHAC NH2) 3-0 OMe

RN 151718-79-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 151718-80-8 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

F O N 
$$C-NMe_2$$
 O  $C-NMe_2$  OMe

RN 151718-81-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, oxime (9CI) (CA INDEX NAME)

F O N 
$$\parallel$$
 C-Me  $\parallel$  C-Me OMe

RN 151718-82-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, O-methyloxime (9CI) (CA INDEX NAME)

RN 151718-83-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]-, hydrazone (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  N  $\sim$ 

RN 151718-85-3 CAPLUS

CN Ethanone, 1-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-86-4 CAPLUS

CN Ethanone, 1-[4-[(2Z)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-87-5 CAPLUS

CN Ethanone, 1-[3-[[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-butenyl]oxy]-4-hydroxyphenyl]-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

● HCl

RN 151718-88-6 CAPLUS

CN Ethanone, 1-[3-[(2E)-4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl)-2-butenyl]oxy]-4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 151718-89-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(5-methoxy-1H-indol-6-yl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

09/712,129

RN 151718-93-3 CAPLUS

CN 1(2H)-Naphthalenone, 6-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3,4-dihydro-7-methoxy- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{F} \\ \text{O} \\ \text{N} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{O} \\ \end{array}$$

RN 151719-08-3 CAPLUS

CN Phenol, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-(1-methoxyethyl)- (9CI) (CA INDEX NAME)

RN 151719-09-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-5-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-10-7 CAPLUS CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-4-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-11-8 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-12-9 CAPLUS
CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 OH  $\sim$  CH  $\sim$  Me  $\sim$  OMe

RN 151719-21-0 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

### ● HCl

RN 151719-22-1 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[4-(1-ethoxyethyl)-2-methoxyphenoxy]propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 151719-23-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-24-3 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151719-25-4 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-(4-ethyl-3-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 151719-26-5 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 151719-27-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>)<sub>3</sub>-O  $\sim$  NHMe

RN 151719-28-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 151719-30-1 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-7-yloxy)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-58-3 CAPLUS

CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]- (9CI) (CA INDEX NAME)

RN 151719-59-4 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 151719-61-8 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 151719-63-0 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 152018-90-1 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-26-5 CMF C23 H26 F N3 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 152018-91-2 CAPLUS
CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-27-6 CMF C24 H28 F N3 O2 S

F S N AC N— 
$$(CH_2)_3$$
 O NHMe

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152018-92-3 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(1H-indol-7-yloxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-30-1 CMF C23 H24 F N3 O2

CM 2

CRN 110-17-8

09/712,129

CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152019-18-6 CAPLUS

CN Isoquinoline, 5-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-58-3 CMF C24 H24 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152019-19-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-[(6-methoxy-1H-indol-5-yl)oxy]propyl]-4-piperidinyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-59-4 CMF C24 H26 F N3 O3

### 09/712,129

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 152019-21-1 CAPLUS

CN Ethanone, 1-[4-[(2R)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9TI) (CA INDEX NAME)

CM 1

CRN 151719-61-8 CMF C25 H29 F N2 O4 CDES 1:R

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

RN 152019-23-3 CAPLUS

CN Ethanone, 1-[4-[(2S)-3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]-2-methylpropoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 151719-63-0 CMF C25 H29 F N2 O4 CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

09/712,129

7 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2001 ACS

AN 1993:7233 CAPLUS

DN 118:7233

TI N-(aryloxyalkyl)heteroaryl-8-azabicyclo[3.2.1]octanes, intermediates and a process for the preparation thereof and their use as medicaments

IN Glamkowski, Edward J.; Fink, David M.; Kurys, Barbara E.

PA Hoechst-Roussel Pharmaceuticals Inc., USA

SO Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

r Aiv •	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI		A1		EP 1992-101706	19920203
	EP 498331				
				, GB, GR, IT, LI, LU,	
	FI 9200435			FI 1992-435	
				CA 1992-2060573	
	NO 9200438			NO 1992-438	
	AU 9210605	A1		AU 1992-10605	19920203
	AU 641842		19930930		
	HU 60494			HU 1992-321	19920203
	HU 207863				
	ZA 9200753				19920203
	JP 05059049			JP 1992-17668	19920203
	JP 08009613		19960131		
		A2		HU 1992-3977	19920203
	HU 217616				
	PL 169092			PL 1992-293363	19920203
	AT 138377				19920203
	ES 2089255	Т3		ES 1992-101706	19920203
	IL 100861		19970218	IL 1992-100861	19920203
	RU 2075479				19920203
		В6		CZ 1992-297	19920203
PRAI	US 1991-650144		19910204		
	HU 1992-321		19920203		
OS	MARPAT 118:7233				
GI					

$$P_{p}$$

AB The title compds. I (X = O, S, NH; Y = H, halo, alkoxy; p = 1, 2; n = 2-4; R = H, alkyl, alkoxy, HO, halo, H2N, alkylamino, O2N, alkylthio, F3CO, cyano, F3C, alkylcarbonyl, R1-substituted aryl; R1 = H, alkyl, alkoxy,

I

halo, HO, HO2C, alkylamino, O2N, alkylthio, cyano, F3C; m = 1,2) salts and isomers thereof, useful as antipsychotics and antidepressants, are prepd. BF3.Et2O was added to 2,4-F2C6H3CH(OMe)2 and P(OEt)3 in CH2Cl2 to give a di-Et phosphonate deriv. which was condensed with tropinone, oximated, cyclized to a benzisoxazolylazabicyclooctane deriv., demethylated, and heated with 4,3-[C1(CH2)30] (MeO)C6H3COMe and HCl/EtOH to give I.HCl (Yp = 6-F, X = 0, n = 3, Rm = 2-MeO, 4-Ac) (II). Antipsychotic activity was detd. by a climbing assay, in which the ED50 of II was 5.0 mg/kg, i.p. 144062-09-9P 144062-10-2P 144062-11-3P 144062-12-4P 144062-13-5P 144062-14-6P 144062-15-7P 144062-16-8P 144062-17-9P 144062-18-0P 144062-19-1P 144062-20-4P 144062-21-5P 144062-22-6P 144062-23-7P 144253-62-3P 144253-63-4P 144253-64-5P 144253-65-6P 144253-66-7P 144253-67-8P 144253-68-9P 144253-69-0P 144253-70-3P 144253-71-4P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as antidepressant and antipsychotic) RN 144062-09-9 CAPLUS CNEthanone, 1-[4-[4-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-10-2 CAPLUS
CN Ethanone, 1-[4-[3-[3-(6-fluoro-1,2-benzisoxazol-3-y1)-8-azabicyclo[3.2.1]oct-8-y1]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-11-3 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-12-4 CAPLUS

CN Ethanone, 1-[4-[2-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-13-5 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-14-6 CAPLUS

CN Ethanone, 1-[4-[4-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-15-7 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-16-8 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-17-9 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-18-0 CAPLUS

CN Ethanone, 1-[4-[2-[3-(6-fluoro-1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-19-1 CAPLUS

CN Ethanone, 1-[4-[4-[3-(6-fluoro-1H-indazol-3-y1)-8-azabicyclo[3.2.1]oct-8-y1]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-20-4 CAPLUS

CN Ethanone, 1-[4-[3-[3-(6-fluoro-1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-21-5 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-22-6 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 144062-23-7 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

### 09/712,129

RN 144253-62-3 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

#### HCl

RN 144253-63-4 CAPLUS

CN Ethanone, 1-[4-[3-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 144253-64-5 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-11-3 CMF C26 H30 N2 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-65-6 CAPLUS
CN Ethanone, 1-[4-[2-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-12-4 CMF C25 H27 F N2 O4

2 CM

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN144253-66-7 CAPLUS

Ethanone, 1-[4-[2-[3-(1,2-benzisoxazol-3-yl)-8-azabicyclo[3.2.1]oct-8-CNyl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM1

CRN 144062-13-5 CMF C25 H28 N2 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

144253-67-8 CAPLUS RN

Ethanone, 1-[4-[4-[3-(6-fluoro-1,2-benzisoxazol-3-yl)-8azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-14-6 CMF C27 H31 F N2 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-68-9 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1H-indazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM :

CRN 144062-17-9 CMF C27 H33 N3 O3

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\mathrm{HO_2C}}$$
  $^{\mathrm{E}}$   $_{\mathrm{CO_2H}}$ 

RN 144253-69-0 CAPLUS

CN Ethanone, 1-[4-[2-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-21-5 CMF C25 H28 N2 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-70-3 CAPLUS

CN Ethanone, 1-[4-[3-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 144062-22-6 CMF C26 H30 N2 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 144253-71-4 CAPLUS

CN Ethanone, 1-[4-[4-[3-(1,2-benzisothiazol-3-yl)-8-azabicyclo[3.2.1]oct-8-yl]butoxy]-3-methoxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HC

L17 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2001 ACS

AN 1991:408826 CAPLUS

DN 115:8826

TI Preparation of [(N-thiazolylpiperazino)ethyl] 4-ethoxycarbonylphenyl ethers and analogs as antirhinoviral agents

IN Stokbroekx, Raymond Antoine; Grauwels, Gilbert Arthur Jules; Willems, Marc

PA Janssen Pharmaceutica N. V., Belg.

SO Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

FAN.CNT 1									
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE					
PI	EP 398425	A1	19901122	EP 1990-201184 19900510					
	EP 398425	В1	19940316						
	R: AT, BE,	CH, DE	, DK, ES, E	TR, GB, GR, IT, LI, LU, NL, SE					
	AU 9054941	A1	19901115	AU 1990-54941 1990(510					
	AU 628172	B2	19920910						
	AT 102929	E	19940415	AT 1990-201184 19900510					
	ES 2053077	Т3	19940716	ES 1990-201184 19900510					
	CF. 2016742	AA	19901115	CA 1990-2016742 19900514					
	Z.A. 9003664	Α	19920129	ZA 1990-3664 19900514					
	IL 94382	A1	19941111	IL 1990-94382 19900514					
	JP 03047174	A2	19910228	JP 1990-125260 19900515					
PRAI	US 1989-351697		19890515						
	US 1989-351698		19890515	S					
	F'!' 1990-201184		19900510						
OS	NARPAT 115:8826			•					
GI									

AB Title compds. I [R = (substituted) heterocyclyl; R1, R2 = H, C1-4 alkyl, halo; R3 = H, halo, cyano, C1-4 alkoxy, aryl, R4O2C, R4 = H, C1-4 alkyl, aryl-C1-4-alkyl, C3-5 alkenyl, etc.; X = N, CH; Z = C1-4 alkanediyl; m, n = 1-4; m + n = 3-5], an addn. salt or stereochem. isomer thereof, are prepd. Et 2-bromo-4-thiazolecarboxylate, Et 4-[2-(1-piperazinyl)ethoxy]benzoate (prepn. given), and NaCO3 were stirred for 5 h at 160.degree. to give title compd. II which had IC50 of 9 ng/mL against certain serotypes of the human rhinovirus.

IT 133310-99-3P 133311-00-9P 133311-19-0P 133311-27-0P 133311-28-1P 133311-29-2P

133311-30-5P 133311-31-6P 133333-58-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as rhinovirucide)

RN 133310-99-3 CAPLUS

CN Benzoic acid, 4-[2-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 133311-00-9 CAPLUS

CN 1,2-Benzisothiazole, 3-[4-[3-(2-chloro-4-methoxyphenoxy)propyl]-1-piperazinyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

RN 133311-19-0 CAPLUS

CN Benzoic acid, 4-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 133311-27-0 CAPLUS

CN 1,2-Benzisothiazole, 3-[4-[3-(2-chloro-4-methoxyphenoxy)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 133311-28-1 CAPLUS

CN 1,2-Benzisoxazole, 3-[4-[2-(2-chloro-4-methoxyphenoxy)ethyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & N & O \\ \hline & N & N \\ \hline & N & CH_2-CH_2-O \\ \hline & C1 & \end{array}$$

RN 133311-29-2 CAPLUS

CN 1,2-Benzisoxazole, 3-[4-[3-(2-chlcoo-4-methoxyphenoxy)propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 133311-30-5 CAPLUS

CN Benzoic acid, 4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 133311-31-6 CAPLUS

CN Benzoic acid, 4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 133333-58-1 CAPLUS
CN Benzoic acid, 4-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

```
pplacant
```

```
L17
    ANSWER 21 OF 24 CAPLUS COPYRIGHT 2001 ACS
    1991:185553 CAPLUS
AN
    114:185553
DN
    Preparation of N-(aryloxyalkyl)heteroarylpiperidines and
ΤI
    -heteroarylpiperazines as antipsychotic agents
     Strupczewski, Joseph Thomas; Helsley, Grover Cleveland; Chiang, Yulin;
    Bordeau, Kenneth J.
PΑ
    Hoechst-Roussel Pharmaceuticals, Inc., USA
    Eur. Pat. Appl., 56 pp.
SO
    CODEN: EPXXDW
DT
    Patent
    English
LΑ
FAN.CNT 5
                   KIND DATE
                                        APPLICATION NO. DATE
    PATENT NO.
                    ____
                          _____
                                         -----
                                                         -----
     _____
                                         EP 1990-109208 19900516 .
    EP 402644
                    A1
                          19901219
PΙ
    EP 402644
                    В1
                         19950816
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
                                       ES 1990-109208 19900516
    ES 20/6253 T3 19951101
    DD 300433
                     A5
                          19920611
                                         DD 1990-340772
                                                         19900517
    CZ 232385
                    В6
                          19970716
                                         CZ 1990-2425
                                                         19900517
                    В6
                          19981104
                                         SK 1990-2425
                                                         19900517
    SK 279474
    CA 2017193
                    AA
                          19901119
                                         CA 1990-2017193 19900518
                                         NO 1990-2214
    NO 9002214
                    Α
                          19901120
                                                         19900518
                    В
                          19950515
    NO 177301
                    С
                          19950823
    NO 177301
                                         ZA 1990-3830
                                                         19900518
    ZA 9003830
                    Α
                          19910227
                                         JP 1990-127090
                                                         19900518 '
     JP 03063263
                     A2
                          19910319
     JP 05062580
                     В4
                          19940817
    HU 58720
                     Α2
                          19920330
                                         HU 1990-3090
                                                         19900518
    HU 218200
                     В
                          20000628
                                         PL 1990-285247
                                                         19900518
    PL 163965
                     В1
                          19940531
    RU 2062776
                     C1
                          19960627
                                         RU 1990-4743876 19900518
                          20000420
                                         RU 1995-115403
    RU 21.47583
                     C1
                                                         19900518
    CN 1048037
                     Α
                          19901226
                                         CN 1990-103721
                                                         19900519
                          19901122
                                         AU 1990-55770
                                                         19900523
    AU 9055770
                     Α1
                          19930902
    AU 640653
                     B2
    CZ 258464
                     В6
                          20010613
                                         CZ 1996-3628
                                                         19961210
                     Α
                                         FI 1999-1869
    FI 9901869
                          19990902
                                                         19990902
                     Α
                                         CN 2000-130979
    CN 1305812
                          20010801
                                                         20001116
                     Α
                          19890519
PRAI US 1989-354411
                     Α
                          19891229
    US 1989-456790
    MARPAT 114:185553
OS
GΙ
```

$$V_p$$
 $V_p$ 
 $V_p$ 

The title compds. I [R = Q; X = O, S, (substituted) NH; p = 1,2; Y = H, C1-6 alkyl, OH, Cl, F, Br, iodo, C1-6 alkoxy, CF3, NO2, NH2; when p = 1, Y = alkoxy; when p = 2, X = O; Z = CH, N; n = 2-5; R1 = H, alkyl, C1-6 alkoxy, OH, CO2H, Cl, F, Br, iodo, NO2, mono- or dialkylamino, CF3, cyano, CONH2, alkanoyl, aroyl, (substituted) Ph, etc.], having antipsychotic and/or analgesic activity, are prepd. by reaction of I (R = H) with phenoxyalkyl halides QX1 (X1 = Cl, Br). Thus, a mixt. of 6-fluoro-3-(4-piperidinyl)-1,2-benzisoxazole-HCl, 1-[4-(3-chloropropoxy)-3-methoxyphenyl]ethanone, and K2CO3 in DMF was stirred 16 h at 90.degree. to give 58% a benzisoxazole (II). A total of 53 I were prepd. II inhibited the apomorphine-induced climbing behavior in mice with ED50 of 0.095 mg/kg, i.p.

```
IT
    133454-45-2P 133454-46-3P 133454-47-4P
     133454-48-5P 133454-49-6P 133454-51-0P
     133454-53-2P 133454-54-3P 133454-55-4P
     133454-56-5P 133454-57-6P 133454-58-7P
     133454-59-8P 133454-60-1P 133454-61-2P
     133454-63-4P 133454-64-5P 133454-66-7P
     133454-67-8P 133454-68-9P 133454-69-0P
     133454-71-4P 133454-72-5P 133454-73-6P
     133454-75-8P 133454-76-9P 133454-78-1P
    133454-79-2P 133454-80-5P 133454-81-6P
     133454-82-7P 133454-84-9P 133454-85-0P
     133454-87-2P 133454-88-3P 133454-89-4P
     133454-90-7P 133454-91-8P 133454-92-9P
     133454-93-0P 133454-94-1P 133454-95-2P
     133454-96-3P 133454-97-4P 133454-99-6P
     133455-00-2P 133455-02-4P 133455-03-5P
     133455-04-6P 133455-05-7P 133455-06-8P
     133455-07-9P 133483-85-9P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of, as analgesic and antipsychotic)
RN
     133454-45-2 CAPLUS
CN
     Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-
    methoxyphenyl] - (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c}
H \\
N \\
N \\
N \\
N \\
OMe
\end{array}$$
Accompany to the content of the content of

RN 133454-46-3 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-47-4 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-48-5 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-49-6 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>) 4-0  $\sim$  OMe

RN 133454-51-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-50-9 CMF C23 H26 N2 O4

$$N$$
 $N$ 
 $CH_2-CH_2-O$ 
 $OMe$ 

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-53-2 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-52-1 CMF C24 H30 N4 O3

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-54-3 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F O N AC N— 
$$CH_2-CH_2-O$$
 OMe

RN 133454-55-4 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)

RN 133454-56-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-57-6 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-hydroxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-58-7 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-59-8 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-60-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-61-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$N - (CH_2)_3 - O$$
OMe

RN 133454-63-4 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-62-3 CMF C25 H29 C1 N2 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4

# CDES 2:E

Double bond geometry as shown.

RN 133454-64-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(5-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$_{\rm F}$$
 $_{\rm N}$ 
 $_{\rm CH_2)_{3-0}}$ 
 $_{\rm OMe}$ 
 $_{\rm OMe}$ 

RN 133454-66-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-[3-(2-methoxyphenoxy)propyl]-4-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-65-6 CMF C22 H25 F N2 O3

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-67-8 CAPLUS

CN Methanone, [3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-68-9 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-69-0 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH_2-CH_2-O$ 
OMe

RN 133454-71-4 CAPLUS

CN Ethanone, 1-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-70-3 CMF C23 H25 F N2 O3

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 133454-72-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-73-6 CAPLUS

CN Ethanone, 1-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-5-methylphenyl]- (9CI) (CA INDEX NAME)

RN 133454-75-8 CAPLUS

CN Acetamide, N-[3-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-4-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-74-7 CMF C24 H28 F N3 O4

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-76-9 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-78-1 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methylphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-77-0 CMF C24 H27 F N2 O3

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 133454-79-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-80-5 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

C1

N

N

N

(CH2) 
$$3-0$$

OMe

RN 133454-81-6 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-82-7 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-fluoro-1H-indazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

F N N 
$$(CH_2)_4 - O$$
 OMe

RN 133454-84-9 CAPLUS

CN 1H-Indazole, 3-[4-[3-(4-acetyl-2-methoxyphenoxy)propyl]-1-piperazinyl]-1-benzoyl-6-fluoro-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-83-8 CMF C30 H31 F N4 O4

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
  $^{\rm E}$   $_{\rm CO_2H}$ 

RN 133454-85-0 CAPLUS

CN Ethanone, 1-[4-[4-[4-(6-chloro-lH-indazol-3-yl)-1-piperazinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RM 133454-87-2 CAPLUS

CN Ethanone, 1-[4-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propoxy]-3-methoxyphenyl]-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-86-1 CMF C23 H27 N3 O3 S

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133454-88-3 CAPLUS

CN Ethanone, 1-[3,5-dibromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-89-4 CAPLUS

CN Ethanone, 1-[4-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-90-7 CAPLUS

CN 1,2-Benzisoxazole, 6-fluoro-3-[1-(3-phenoxypropyl)-4-piperidinyl]- (9CL) (CA INDEX NAME)

RN 133454-91-8 CAPLUS

CN Ethanone, 1-[4-[2-[4-(6-chloro-1H-indazol-3-yl)-1-piperazinyl]ethoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-92-9 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-93-0 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

F O N 
$$(CH_2)_3 - O$$
 SMe

RN 133454-94-1 CAPLUS

CN Ethanone, 1-[4-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperidinyl]butoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133454-95-2 CAPLUS

CN Methanone, [4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]phenyl- (9CI) (CA INDEX NAME)

RN 133454-96-3 CAPLUS

CN Ethanone, 1-[3-bromo-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133454-97-4 CAPLUS

CN 1,2-Benzisoxazole, 3-[1-[3-[4-(1-ethoxyethyl)-2-methoxyphenoxy]propyl]-4-piperidinyl]-6-fluoro-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 133454-99-6 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester), (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 133454-98-5 CMF C26 H31 F N2 O5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-00-2 CAPLUS

CN 1-Pentanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-02-4 CAPLUS

CN Benzenamine, 2-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-N-methyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 133455-01-3 CMF C22 H26 F N3 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 133455-03-5 CAPLUS

Page 278

CN 1,2-Benzisoxazole, 3-[1-[3-(4-bromo-2-methoxyphenoxy)propyl]-4-piperidinyl]-6-fluoro- (9CI) (CA INDEX NAME)

RN 133455-04-6 CAPLUS

CN 1-Propanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxyphenyl]- (9CI) (CA INDEX NAME)

RN 133455-05-7 CAPLUS

CN Benzamide, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

F O N 
$$C-NH_2$$
 O  $C-NH_2$  OMe

RN 133455-06-8 CAPLUS

CN Ethanone, 1-[4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)

F 
$$\sim$$
 N  $\sim$  (CH<sub>2</sub>) 3  $\sim$  N  $\sim$  NHMe

RN 133455-07-9 CAPLUS

CN Ethanone, 1-[3-ethoxy-4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]phenyl]- (9CI) (CA INDEX NAME)

RN 133483-85-9 CAPLUS

CN Benzonitrile, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy- (9CI) (CA INDEX NAME)

IT 133454-98-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, in prepn. of analgesic and antipsychotic)

RN 133454-98-5 CAPLUS

CN Benzenemethanol, 4-[3-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]propoxy]-3-methoxy-.alpha.-methyl-, acetate (ester) (9CI) (CA INDEX NAME)

ANSWER 22 OF 24 CAPLUS COPYRIGHT 2001 ACS

ΑN 1986:478960 CAPLUS

DN 105:78960

ΤI 1,2-Benzisothiazol-3-ylpiperazines

IN Smith, David W.; Yevich, Joseph P.

PΑ Bristol-Myers Co., USA

Ger. Offen., 42 pp. SO

CODEN: GWXXBX

DTPatent

German LA

FAN.		1					
1141.	PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
PI	DE	3530089	A1	19860306	DE	1985-3530089	19850822
	US	4590196	Α	19860520	US	1984-643614	19840823
	CA	1249587	A1	19890131	CA	1985-488887	19850816
	FI	8503193	Α	19860224	FI	1985-3193	19850820
	FI	82039	В	19900928			
	FI	82039	С	19910110			
	BE	903106	A1	19860224	BE	1985-215496	19850822
	SS	8503922	Α	19860224	SE	1985-3922	19850822
	SE	464304	В	19910408			
	SE	464304	С	19910801			
	DK	8503814	Α	19860224	DK	1985-3814	19850822
	GB	2163432 "	A1	19860226	GB	1985-21050	19850822
	GB	2163432	В2	19880622			
	ΑU	8546533	A1	19860227	AU	1985-46533	19850822
	ΑU	586182	B2	19890706			
		2569404	A1	19860228	FR	1985-12635	19850822
		2569404	В1	19880701			
	NL	8502310	Α	19860317	ИL	1985-2310	19850822
		8506387	Α	19860430		1985-6387	19850822
		61112063	A2	19860530	JP	1985-185015	19850822
		546347	A1	19870401		1985-546347	19850822
		667268	Α	19880930		1985-3606	19850822
		8502469	Α	19930315	AΤ	1985-2469	19850823
		396685	В	19931125			
PRAI		1984-643614		19840823			
OS GI	CAS	SREACT 105:789	60				

The title compds. (I; R1 = H, alkenyl, cycloalkyl, phenylcycloalkyl, (un) substituted alkyl; R2 = H, alkyl; R3, R4 = H, alkyl, acyloxy, alkoxy, AΒ alkylthio, halo, OH, CF3) were prepd. as analgesics (no data). Thus,

(2-HO2CC6H4S)2 was treated with SOCl2 to give 71% (2-ClCOC6H4S)2 which was cyclocondensed with aq. NH4OH in presence of Cl to give 88.5% benzisothiazolone II (R5R6 = O, R7 = H). This was chlorinated with POCl3 to give 77% II (R5 = Cl, R6R7 = bond). The latter was aminolyzed with piperidine to give 68% I (R1-R4 = H).

IT 103485-89-8P 103485-90-1P 103485-91-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as analgesic)

RN 103485-89-8 CAPLUS

CN 1,2-Benzisothiazole, 3-[4-(2-phenoxyethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 103485-90-1 CAPLUS

CN 1,2-Benzisothiazole, 3-[4-(4-phenoxybutyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 103485-91-2 CAPLUS

CN 1,2-Benzisothiazole, 3-[4-(4-phenoxybutyl)-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

09/7/2,129

ANSWER 23 OF 24 CAPLUS COPYRIGHT 2001 ACS

1985:203897 CAPLUS

DN 102:203897

TI Synthesis and neuroleptic activity of 3-(1-substituted-4-piperidinyl)-1,2-benzisoxazoles

AU Strupczewski, Joseph T.; Allen, Richard C.; Gardner, Beth Ann; Schmid, Blaine L.; Stache, Ulrich; Glamkowski, Edward J.; Jones, Michael C.; Ellis, Daniel B.; Huger, Francis P.; Dunn, Robert W.

CS Chem. Res. Dep., Hoechst-Roussel Pharm., Inc., Somerville, NJ, 08876, USA

SO J. Med. Chem. (1985), 28(6), 761-9

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 102:203897

GΙ

Ι

AB The synthesis of a series of 3-(1-substituted-4-piperidinyl)-1,2-benzisoxazoles is described. The neuroleptic activity of the series was evaluated by utilizing the climbing mice assay and inhibition of [3H]spiroperidol binding. Structure-activity relationships were studied by variation of the substituent on the benzisoxazole ring with concomitant variation of 4 different 1-piperidinyl substituents. Max. neuroleptic activity was realized when there was a 6-F substituent on the benzisoxazole ring. The 1-piperidinyl substituent appeared less significant, although in most cases, the (1,3-dihydro-2-oxo-2H-benzimidazol-1-yl)propyl group imparted max. potency. The most potent compd. in both assays was piperidinylbenzisoxazole I.

IT 84163-21-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and deblocking of)

RN 84163-21-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(1,2-benzisoxazol-3-yl)-, phenyl ester (9CI) (CA INDEX NAME)

ANSWER 24 OF 24 CAPLUS COPYRIGHT 2001 ACS 1983:53870 CAPLUS 98:53870 ΤI 3-(4-Piperidyl)-1,2-benzisoxazoles Strupczewski, Joseph T.; Gardner, Beth Ann; Allen, Richard C. ΙN PA Hoechst-Roussel Pharmaceuticals, Inc., USA SO U.S., 21 pp. CODEN: USXXAM DTPatent English LΑ FAN.CNT 1 DATE APPLICATION NO. DATE PATENT NO. KIND \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_\_ PΙ US 4355037 19821019 US 1981-319871 19811112 US 4408053 Α 19831004 US 1982-405965 19820806 US 4408054 Α 19831004 US 1982-407235 19820811 EP 80104 A2 19830601 EP 1982-110318 19821109 EP 80104 Α3 19830824 В1 19881214 EP 80104 R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE AT 39251 AT 1982-110318 19821109 19881215 Е ES 1982-517245 19821110 ES 517245 19840616 Α1 CA 1215066 19861209 CA 1982-415352 19821110 Α1 AU 1982-90390 AU 8290390 Α1 19830519 19821111 AU 567865 В2 19871210 JP 1982-196885 JP 58090582 Α2 19830530 19821111 JP 04011546 В4 19920228 ZA 8208281 Α 19830928 ZA 1982-8281 19821111 US 4469869 19840904 US 1983-492846 19830509 Α US 4528376 Α 19850709 US 1983-492767 19830509 ES 1984-529370 ES 529370 A1 19850901 19840201 ES 529369 Α1 19850916 ES 1984-529369 19840201 US 4408054 В1 19870602 US 1986-90001062 19860801 A1 19880519 AU 1988-11385 19880208 AU 8811385 AU 612621 В2 19910718 19811112 PRAI US 1981-319871

19820811

19821109

$$\mathbb{R}^{1}$$

US 1982-407235

EP 1982-110318

CASREACT 98:53870

AB Analgesic benzisoxazoles I [R = H, alkyl, alkenyl, cycloalkylalkyl, phenylalkyl, HO, dialkylaminoalkyl, cyano, cyanomethyl, Bz, COR2 (R2 = H, alkyl, PhO, PhCH2O); R1 = H, alkyl, halo, HO, alkoxy; n = 1, 2] and their pharmaceutically acceptable salts were prepd. Thus, 1-methyl-4-(2-

OS GI

fluorobenzoyl)piperidine was cyclized with HONH2 to give I (R = Me, R1 =H).HCl (II). The analgesic ED50 of II in the phenyl-p-quinone writhing assay in mice was 0.415 mg/kg.

ΙT 84163-19-9P 84163-21-3P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 84163-19-9 CAPLUS

1-Piperidinecarboxylic acid, 4-(4-fluoro-1,2-benzisoxazol-3-yl)-, phenyl CN ester (9CI) (CA INDEX NAME)

RN84163-21-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(1,2-benzisoxazol-3-yl)-, phenyl ester (9CI) (CA INDEX NAME)